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=> fil hcaplus
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FILE COVERS 1907 - 26 Aug 2004  VOL 141 ISS 9
FILE LAST UPDATED: 25 Aug 2004  (20040825/ED)

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.


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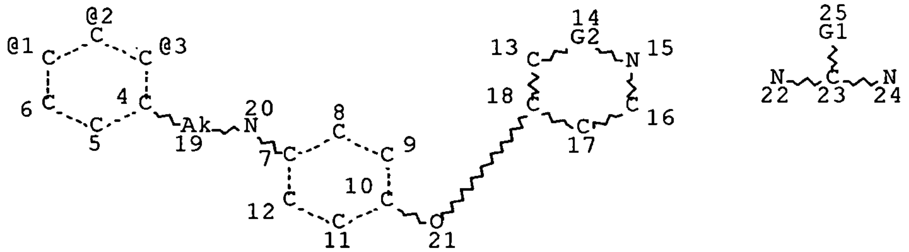

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RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  25

STEREO ATTRIBUTES: NONE
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L5   ANSWER 1 OF 2  HCAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:        2001:101104  HCAPLUS Full-text
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DOCUMENT NUMBER:         134:162918
TITLE:                   Preparation of piperidinyloxyamidinophenylpropenylbenz
                         enamines as anticoagulants.
INVENTOR(S):             Guilford, William J.; Sakata, Steven T.; Shaw, Kenneth
                         J.; Wu, Shung; Xu, Wei; Zhao, Zhuchun
PATENT ASSIGNEE(S):      Berlex Laboratories, Inc., USA
SOURCE:                  PCT Int. Appl., 99 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

    PATENT NO.          KIND    DATE        APPLICATION NO.         DATE
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    WO 2001009093        A1     20010208    WO 2000-US20390         20000727 <--
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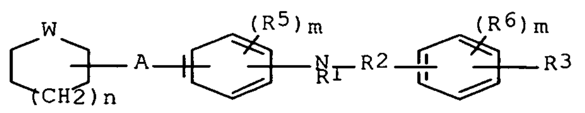

I

AB Title compds. [I; A = O, imino; W = imino, S, O; m = 0-4; n = 0, 1; R1 = H, alkyl, alkylcarbonyl, alkoxycarbonylalkyl, carboxyalkyl, PhCH2, etc.; R2 = [C(R7)2]m, [C(R7)2]m(CR8):CH, CR7R8Ph; R3 = C(:NH)NH2, C(:NH)NHOR7, etc.; R5 = H, alkyl, halo, haloalkyl, NO2, OH, alkoxy, CO2H, etc.; R6 = H, alkyl, OH, alkoxy, (substituted) aralkoxy; R7, R8 = H, alkyl, aryl, aralkyl], were prepared as antithrombotics (no data). Thus, 4-[N-(tert-butoxycarbonyl)piperidin-4-yloxy]benzeneamine (preparation given), 3-[(chloromethyl)carbonylamino]-4-benzyloxybenzonitrile (preparation given), K2CO3, and NaI were heated 5.5 h at 80° in DMF to give 4-[N''-(tert-butoxycarbonyl)piperidin-4-yloxy]-N-[N'-(6-benzyloxy-3-cyanophenyl)aminocarbonyl]methylbenzeneamine. The product in EtOH/CH2Cl2 was treated with HCl under ice cooling to give 4-(piperidin-4-yloxy)-N-[N'- (6-benzyloxy-3-amidinophenyl)aminocarbonyl]methylbenzeneamine.

IT **325456-48-2P 325456-49-3P 325456-50-6P**
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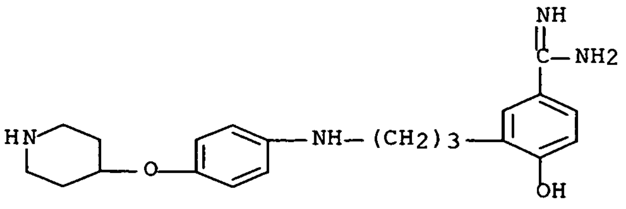
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidinyloxyamidinophenylpropenylbenzenamines as anticoagulants)

RN 325456-48-2 HCAPLUS

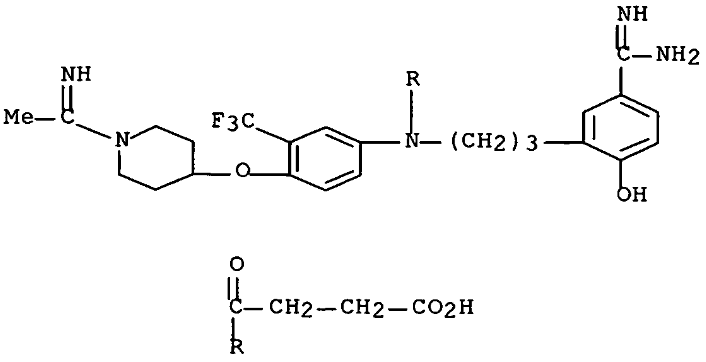
CN Benzenecarboximidamide, 4-hydroxy-3-[3-[[4-(4-piperidinyloxy)phenyl]amino]propyl]- (9CI) (CA INDEX NAME)



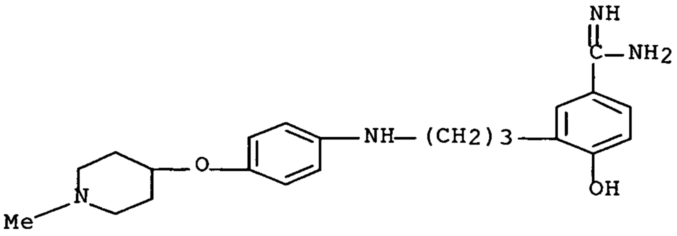
RN 325456-49-3 HCAPLUS

CN Butanoic acid, 4-[[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]propyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-(trifluoromethyl)phenyl]amino]-4-oxo-

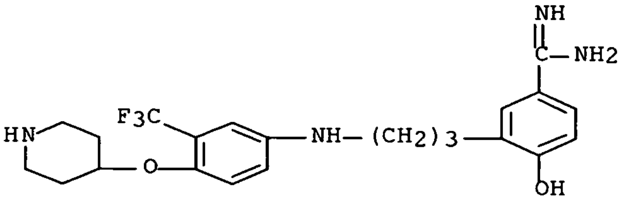
(9CI) (CA INDEX NAME)



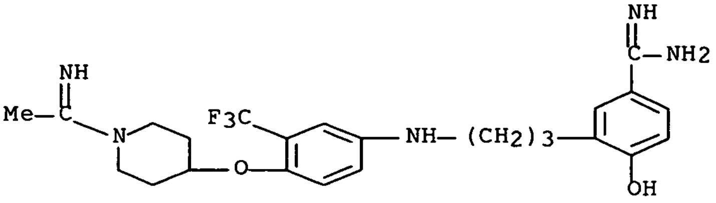
RN 325456-50-6 HCAPLUS
CN Benzenecarboximidamide, 4-hydroxy-3-[3-[[4-[(1-methyl-4-piperidinyl)oxy]phenyl]amino]propyl]- (9CI) (CA INDEX NAME)



RN 325456-51-7 HCAPLUS
CN Benzenecarboximidamide, 4-hydroxy-3-[3-[[4-(4-piperidinyloxy)-3-(trifluoromethyl)phenyl]amino]propyl]- (9CI) (CA INDEX NAME)

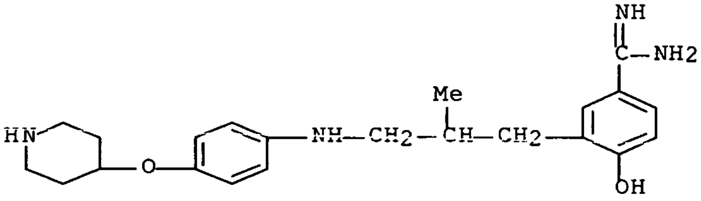


RN 325456-52-8 HCAPLUS
CN Benzenecarboximidamide, 4-hydroxy-3-[3-[[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-(trifluoromethyl)phenyl]amino]propyl]- (9CI) (CA INDEX NAME)



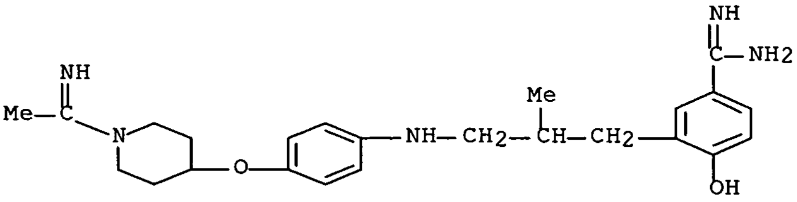
RN 325456-53-9 HCAPLUS

CN Benzenecarboximidamide, 4-hydroxy-3-[2-methyl-3-[[4-(4-piperidinyloxy)phenyl]amino]propyl]- (9CI) (CA INDEX NAME)



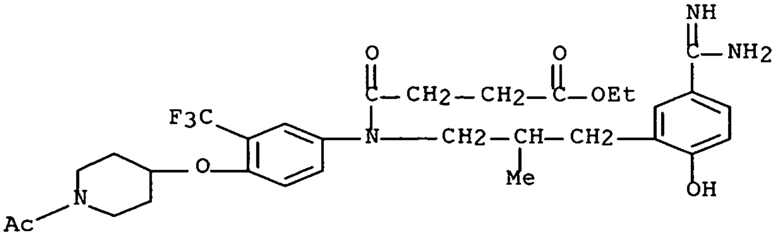
RN 325456-54-0 HCAPLUS

CN Benzenecarboximidamide, 4-hydroxy-3-[3-[[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]-2-methylpropyl]- (9CI) (CA INDEX NAME)



RN 325456-55-1 HCAPLUS

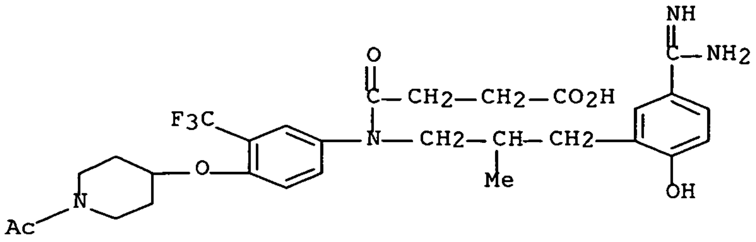
CN Butanoic acid, 4-[[4-[(1-acetyl-4-piperidinyl)oxy]-3-(trifluoromethyl)phenyl][3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-methylpropyl]amino]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



RN 325456-56-2 HCAPLUS

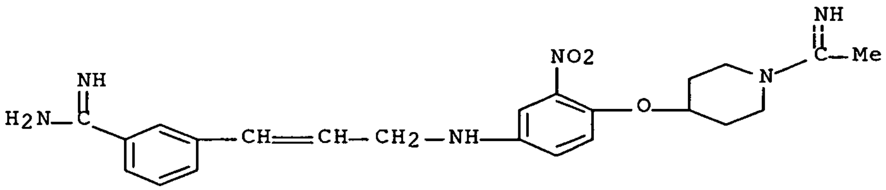
CN Butanoic acid, 4-[[4-[(1-acetyl-4-piperidinyl)oxy]-3-(trifluoromethyl)phenyl][3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-

methylpropyl]amino]-4-oxo- (9CI) (CA INDEX NAME)



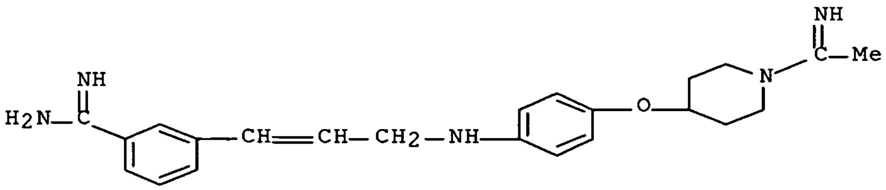
RN 325456-57-3 HCAPLUS

CN Benzenecarboximidamide, 3-[3-[[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-nitrophenyl]amino]-1-propenyl]- (9CI) (CA INDEX NAME)



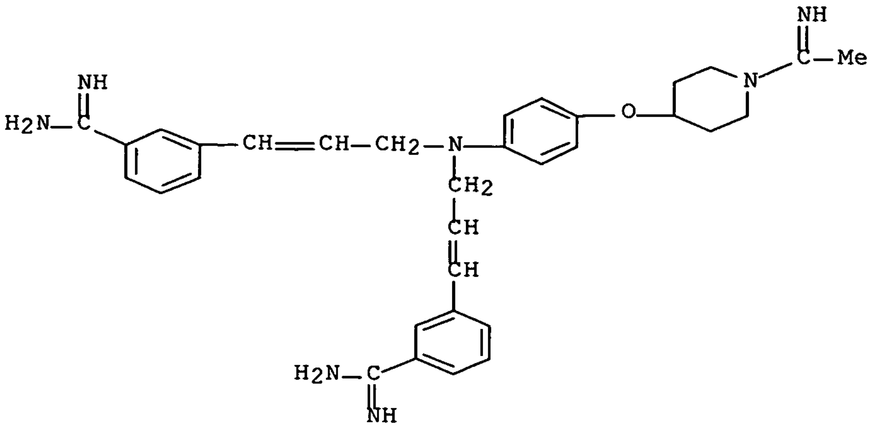
RN 325456-58-4 HCAPLUS

CN Benzenecarboximidamide, 3-[3-[[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]-1-propenyl]- (9CI) (CA INDEX NAME)

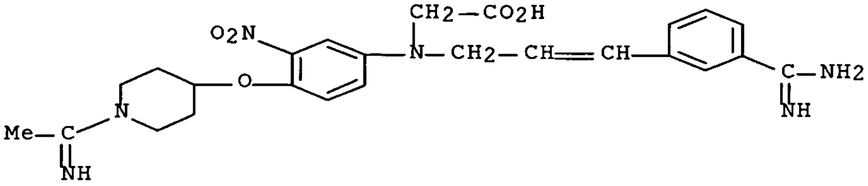


RN 325456-59-5 HCAPLUS

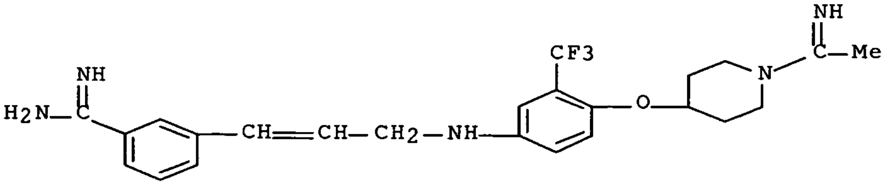
CN Benzenecarboximidamide, 3,3'-[[[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]imino]di-1-propene-3,1-diyl]bis- (9CI) (CA INDEX NAME)



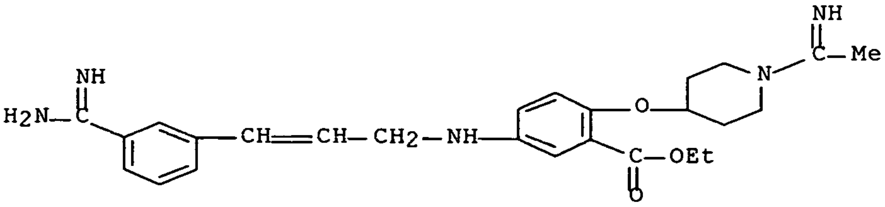
RN 325456-60-8 HCAPLUS
CN Glycine, N-[3-[3-(aminoiminomethyl)phenyl]-2-propenyl]-N-[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-nitrophenyl]- (9CI) (CA INDEX NAME)



RN 325456-61-9 HCAPLUS
CN Benzenecarboximidamide, 3-[3-[[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-(trifluoromethyl)phenyl]amino]-1-propenyl]- (9CI) (CA INDEX NAME)

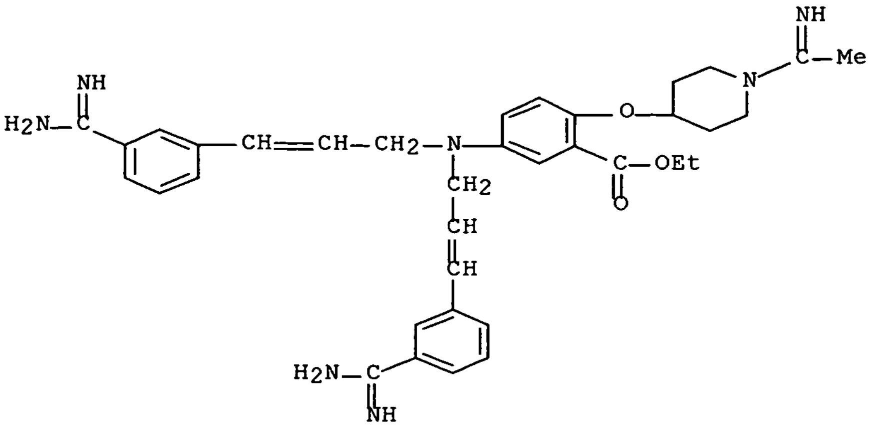


RN 325456-62-0 HCAPLUS
CN Benzoic acid, 5-[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl]amino]-2-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



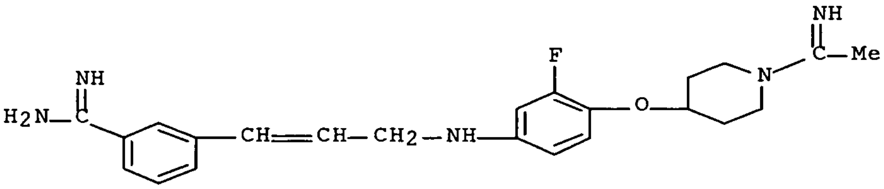
RN 325456-63-1 HCAPLUS

CN Benzoic acid, 5-[bis[3-[3-(aminoiminomethyl)phenyl]-2-propenyl]amino]-2-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



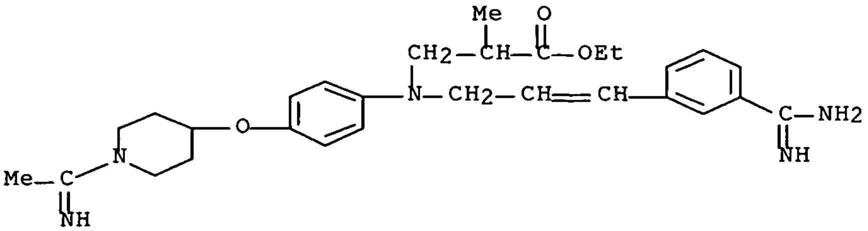
RN 325456-64-2 HCAPLUS

CN Benzenecarboximidamide, 3-[3-[[3-fluoro-4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]-1-propenyl]- (9CI) (CA INDEX NAME)



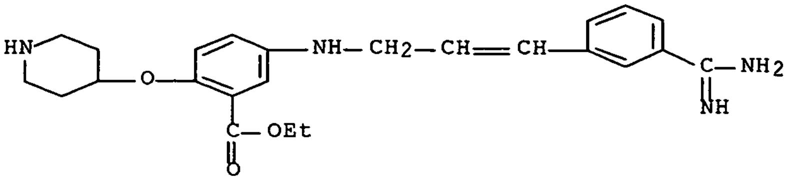
RN 325456-65-3 HCAPLUS

CN Propanoic acid, 3-[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]-2-methyl-, ethyl ester (9CI) (CA INDEX NAME)



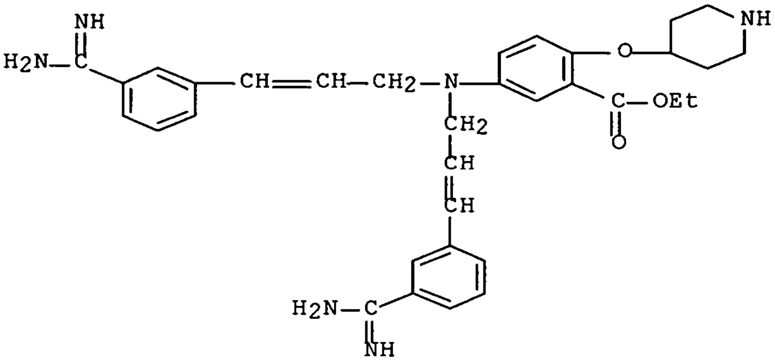
RN 325456-66-4 HCAPLUS

CN Benzoic acid, 5-[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl]amino]-2-(4-piperidinyloxy)-, ethyl ester (9CI) (CA INDEX NAME)



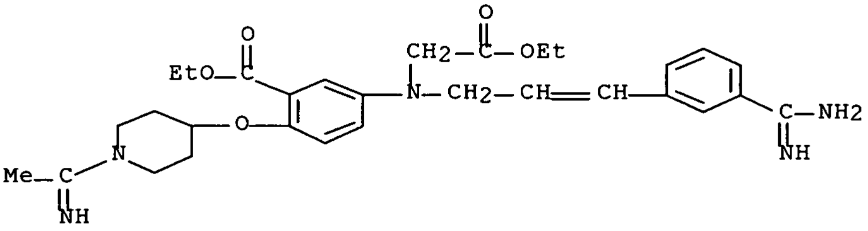
RN 325456-67-5 HCAPLUS

CN Benzoic acid, 5-[bis[3-[3-(aminoiminomethyl)phenyl]-2-propenyl]amino]-2-(4-piperidinyloxy)-, ethyl ester (9CI) (CA INDEX NAME)



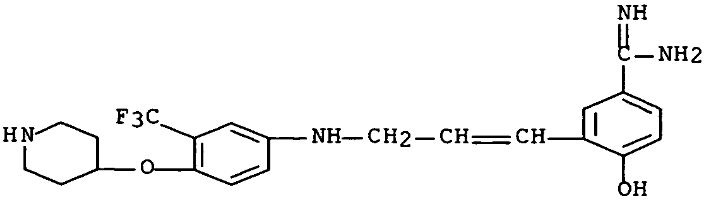
RN 325456-68-6 HCAPLUS

CN Benzoic acid, 5-[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl](2-ethoxy-2-oxoethyl)amino]-2-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

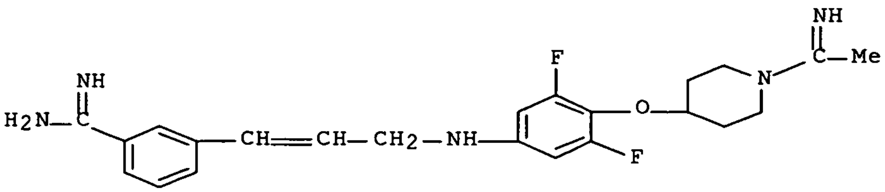


RN 325456-69-7 HCAPLUS

CN Benzenecarboximidamide, 4-hydroxy-3-[3-[[4-(4-piperidinyloxy)-3-(trifluoromethyl)phenyl]amino]-1-propenyl]- (9CI) (CA INDEX NAME)



RN 325456-70-0 HCAPLUS
CN Benzenecarboximidamide, 3-[3-[[3,5-difluoro-4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]-1-propenyl]- (9CI) (CA INDEX NAME)



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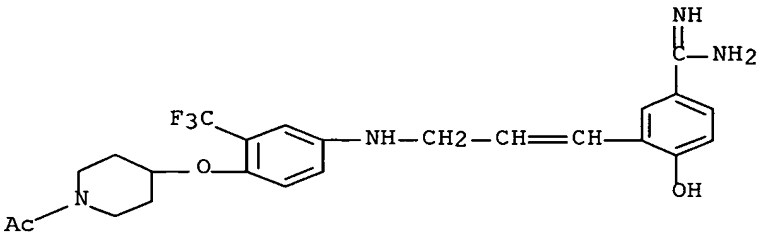
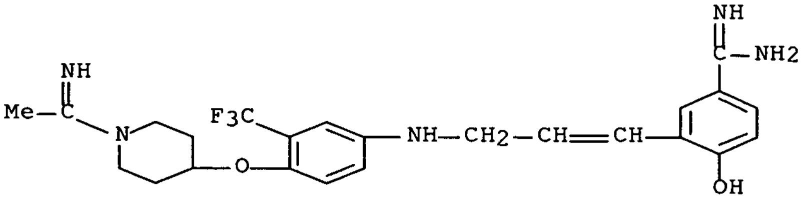
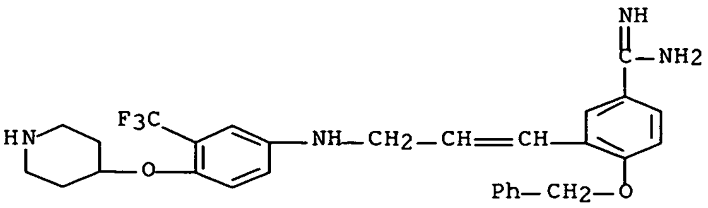
CN Piperidine, 1-acetyl-4-[4-[[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl]amino]-2-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)



RN 325456-72-2 HCAPLUS
CN Benzenecarboximidamide, 4-hydroxy-3-[3-[[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-(trifluoromethyl)phenyl]amino]-1-propenyl]- (9CI) (CA INDEX NAME)



RN 325456-73-3 HCAPLUS
CN Benzenecarboximidamide, 4-(phenylmethoxy)-3-[3-[[4-(4-piperidinyloxy)-3-(trifluoromethyl)phenyl]amino]-1-propenyl]- (9CI) (CA INDEX NAME)



RN 325456-74-4 HCAPLUS

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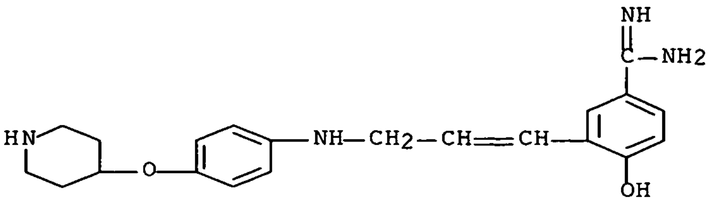

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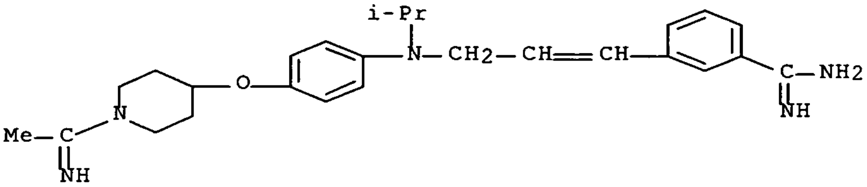
CN Benzenecarboximidamide, 3-[3-[[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl](1-methylethyl)amino]-1-propenyl]- (9CI) (CA INDEX NAME)



RN 325456-76-6 HCAPLUS

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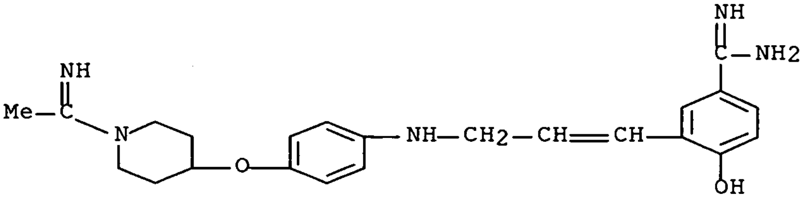
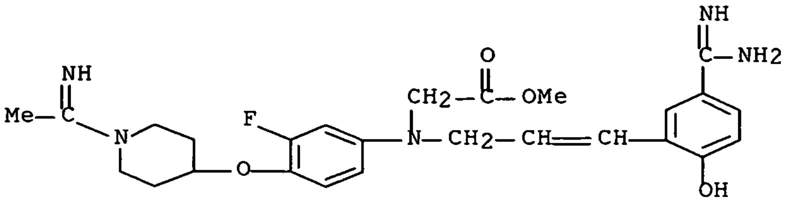


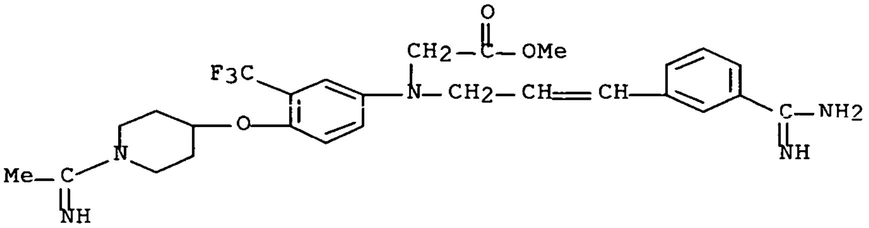
RN 325456-77-7 HCAPLUS

CN Glycine, N-[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl]-N-[3-fluoro-4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



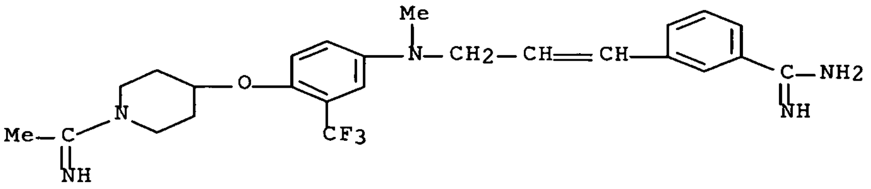
RN 325456-78-8 HCAPLUS

CN Glycine, N-[3-[3-(aminoiminomethyl)phenyl]-2-propenyl]-N-[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-(trifluoromethyl)phenyl]-, methyl ester (9CI) (CA INDEX NAME)



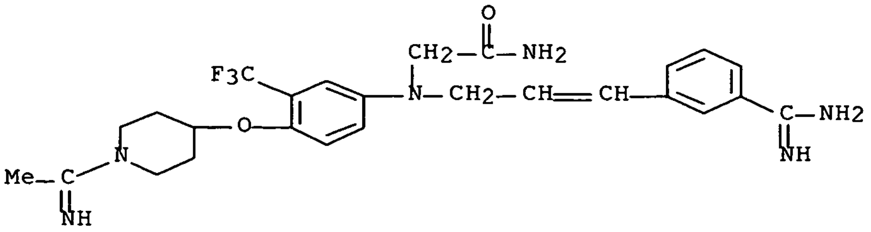
RN 325456-79-9 HCAPLUS

CN Benzenecarboximidamide, 3-[3-[[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-(trifluoromethyl)phenyl]methylamino]-1-propenyl]- (9CI) (CA INDEX NAME)



RN 325456-80-2 HCAPLUS

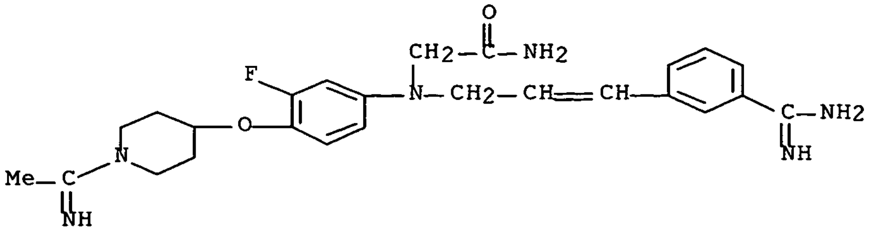
CN Acetamide, 2-[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)



RN 325456-81-3 HCAPLUS

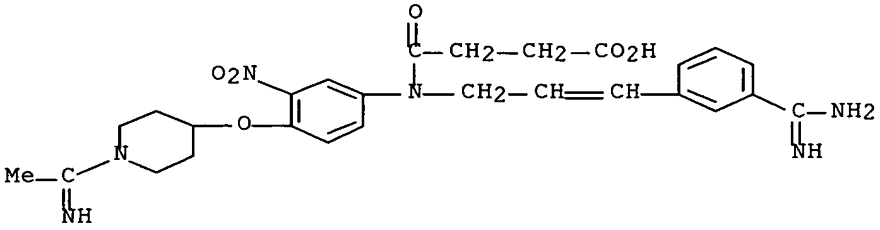
CN Acetamide, 2-[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-fluoro-4-[[1-

(1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]- (9CI) (CA INDEX NAME)



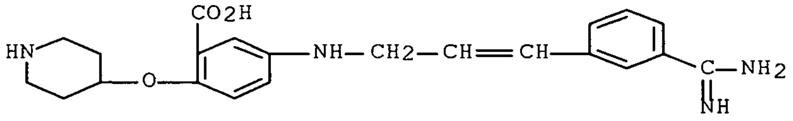
RN 325456-82-4 HCAPLUS

CN Butanoic acid, 4-[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-nitrophenyl]amino]-4-oxo- (9CI) (CA INDEX NAME)



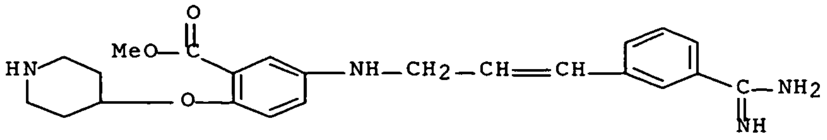
RN 325456-83-5 HCAPLUS

CN Benzoic acid, 5-[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl]amino]-2-(4-piperidinyloxy)- (9CI) (CA INDEX NAME)



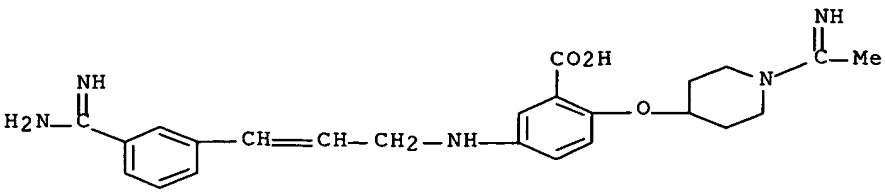
RN 325456-84-6 HCAPLUS

CN Benzoic acid, 5-[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl]amino]-2-(4-piperidinyloxy)-, methyl ester (9CI) (CA INDEX NAME)



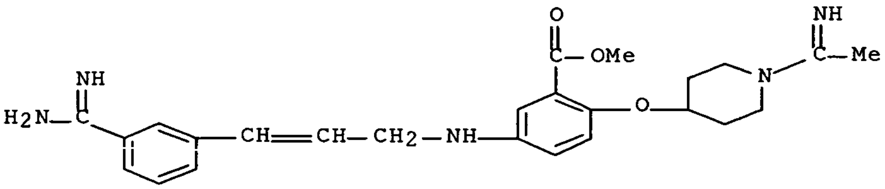
RN 325456-85-7 HCAPLUS

CN Benzoic acid, 5-[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl]amino]-2-[[1-(1-iminoethyl)-4-piperidinyl]oxy]- (9CI) (CA INDEX NAME)



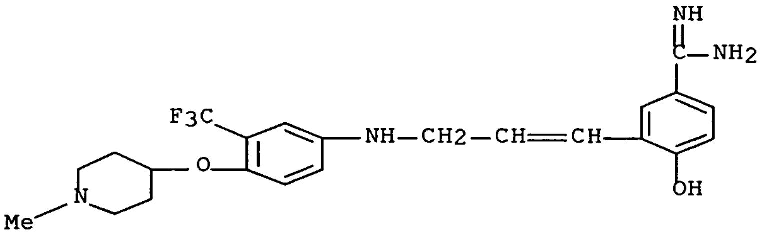
RN 325456-86-8 HCAPLUS

CN Benzoic acid, 5-[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl]amino]-2-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



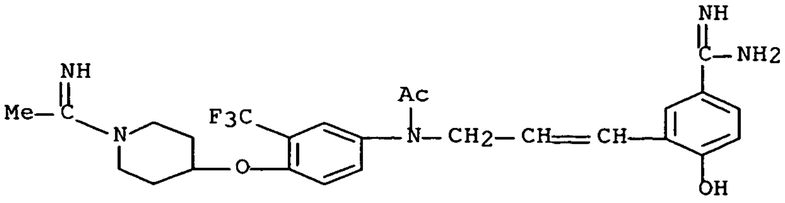
RN 325456-87-9 HCAPLUS

CN Benzenecarboximidamide, 4-hydroxy-3-[3-[[4-[(1-methyl-4-piperidinyl)oxy]-3-(trifluoromethyl)phenyl]amino]-1-propenyl]- (9CI) (CA INDEX NAME)



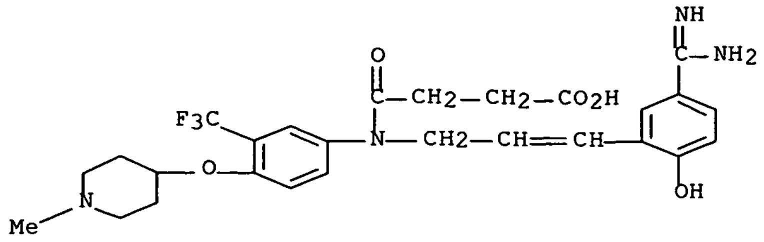
RN 325456-88-0 HCAPLUS

CN Acetamide, N-[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl]-N-[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



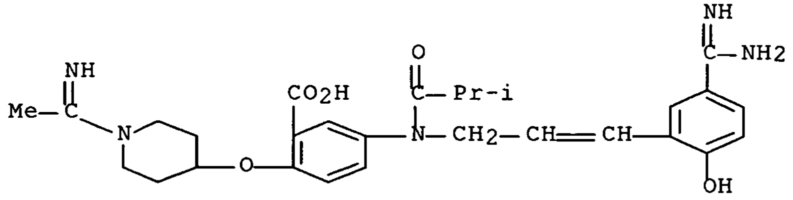
RN 325456-89-1 HCAPLUS

CN Butanoic acid, 4-[[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl][4-[(1-methyl-4-piperidinyl)oxy]-3-(trifluoromethyl)phenyl]amino]-4-oxo- (9CI) (CA INDEX NAME)



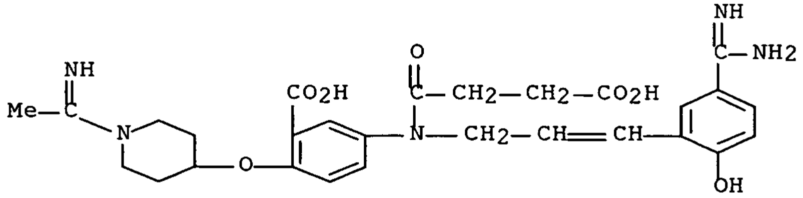
RN 325456-90-4 HCAPLUS

CN Benzoic acid, 5-[[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl](2-methyl-1-oxopropyl)amino]-2-[[1-(1-iminoethyl)-4-piperidinyl]oxy]- (9CI) (CA INDEX NAME)



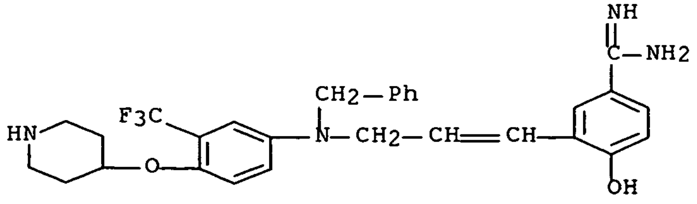
RN 325456-91-5 HCAPLUS

CN Benzoic acid, 5-[[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl](3-carboxy-1-oxopropyl)amino]-2-[[1-(1-iminoethyl)-4-piperidinyl]oxy]- (9CI) (CA INDEX NAME)



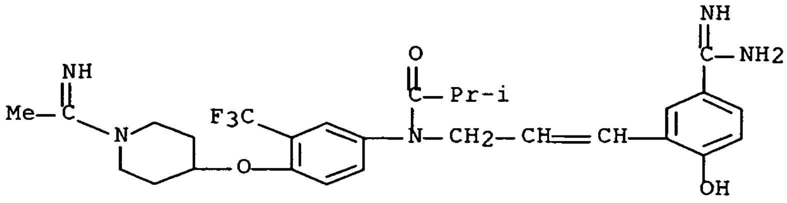
RN 325456-92-6 HCAPLUS

CN Benzenecarboximidamide, 4-hydroxy-3-[3-[(phenylmethyl)[4-(4-piperidinyloxy)-3-(trifluoromethyl)phenyl]amino]-1-propenyl]- (9CI) (CA INDEX NAME)



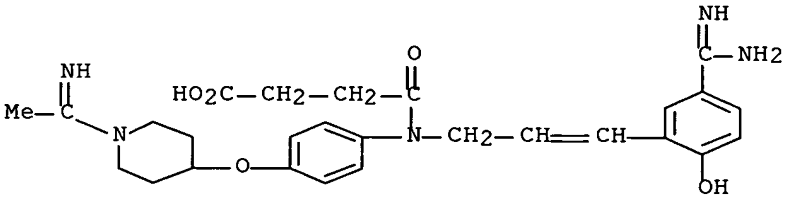
RN 325456-93-7 HCAPLUS

CN Propanamide, N-[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl]-N-[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-(trifluoromethyl)phenyl]-2-methyl- (9CI) (CA INDEX NAME)



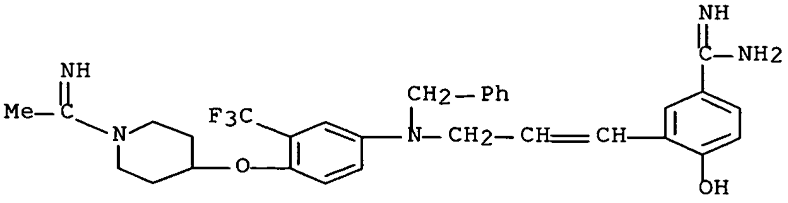
RN 325456-94-8 HCAPLUS

CN Butanoic acid, 4-[[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]-4-oxo- (9CI) (CA INDEX NAME)



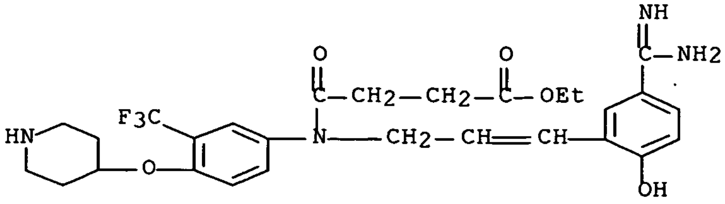
RN 325456-95-9 HCAPLUS

CN Benzenecarboximidamide, 4-hydroxy-3-[3-[[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-(trifluoromethyl)phenyl](phenylmethyl)amino]-1-propenyl]- (9CI) (CA INDEX NAME)

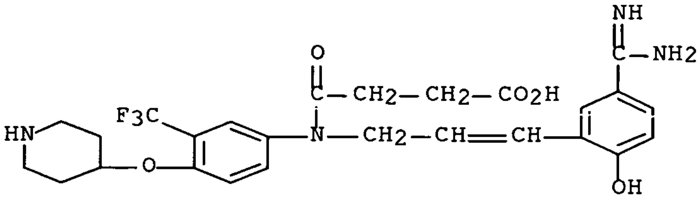


RN 325456-96-0 HCAPLUS

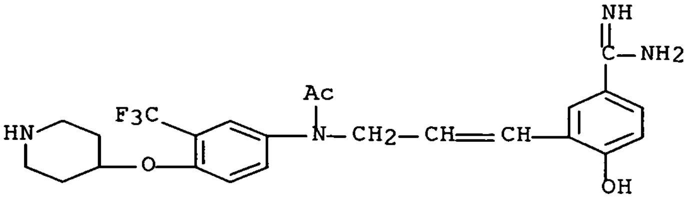
CN Butanoic acid, 4-[[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl][4-(4-piperidinyloxy)-3-(trifluoromethyl)phenyl]amino]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



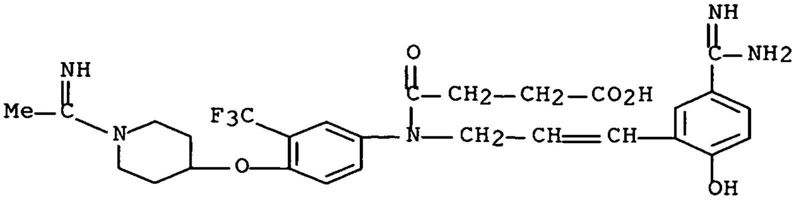
RN 325456-97-1 HCAPLUS
CN Butanoic acid, 4-[[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl][4-(4-piperidinyloxy)-3-(trifluoromethyl)phenyl]amino]-4-oxo- (9CI) (CA INDEX NAME)



RN 325456-98-2 HCAPLUS
CN Acetamide, N-[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl]-N-[4-(4-piperidinyloxy)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

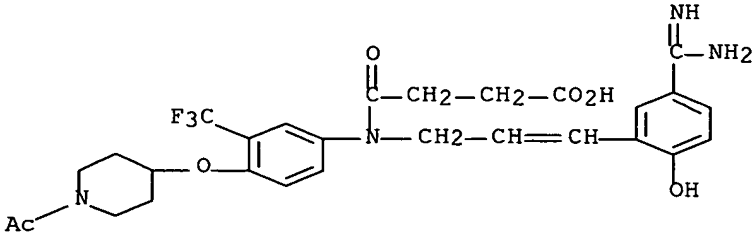


RN 325456-99-3 HCAPLUS
CN Butanoic acid, 4-[[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-(trifluoromethyl)phenyl]amino]-4-oxo- (9CI) (CA INDEX NAME)



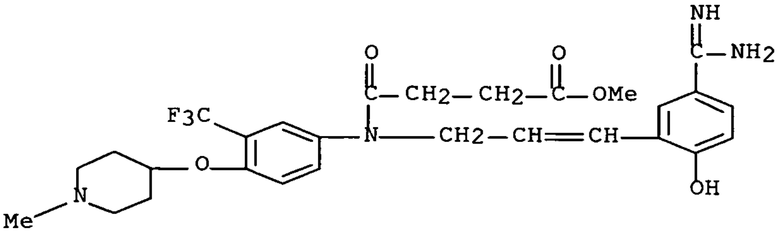
RN 325457-00-9 HCAPLUS
CN Butanoic acid, 4-[[4-[(1-acetyl-4-piperidinyl)oxy]-3-(trifluoromethyl)phenyl][3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-

propenyl]amino]-4-oxo- (9CI) (CA INDEX NAME)



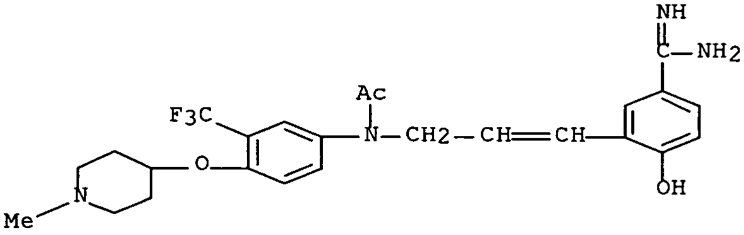
RN 325457-01-0 HCAPLUS

CN Butanoic acid, 4-[[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl][4-[(1-methyl-4-piperidinyl)oxy]-3-(trifluoromethyl)phenyl]amino]-4-oxo-, methyl ester (9CI) (CA INDEX NAME)



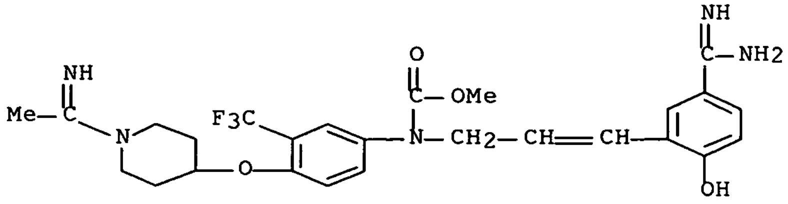
RN 325457-02-1 HCAPLUS

CN Acetamide, N-[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl]-N-[4-[(1-methyl-4-piperidinyl)oxy]-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



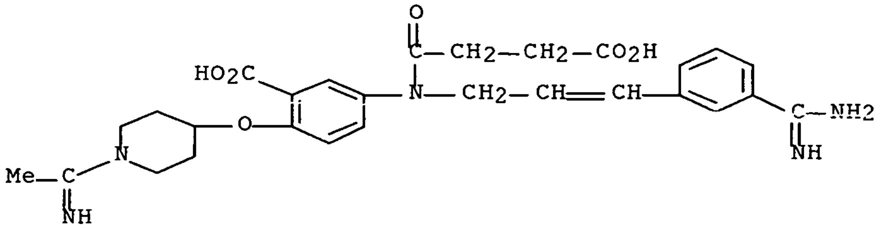
RN 325457-03-2 HCAPLUS

CN Carbamic acid, [3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-(trifluoromethyl)phenyl]-, methyl ester (9CI) (CA INDEX NAME)



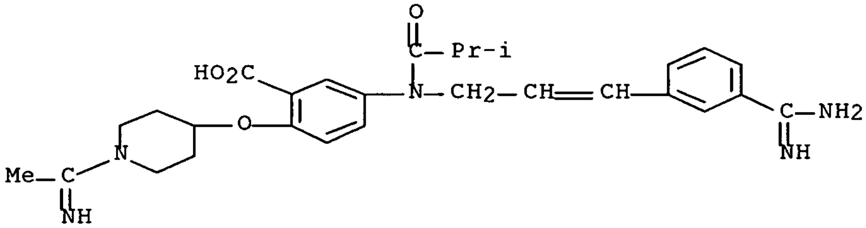
RN 325457-04-3 HCAPLUS

CN Benzoic acid, 5-[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl](3-carboxy-1-oxopropyl)amino]-2-[[1-(1-iminoethyl)-4-piperidinyl]oxy]- (9CI) (CA INDEX NAME)



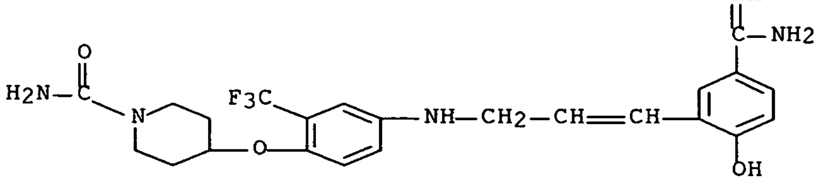
RN 325457-05-4 HCAPLUS

CN Benzoic acid, 5-[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl](2-methyl-1-oxopropyl)amino]-2-[[1-(1-iminoethyl)-4-piperidinyl]oxy]- (9CI) (CA INDEX NAME)



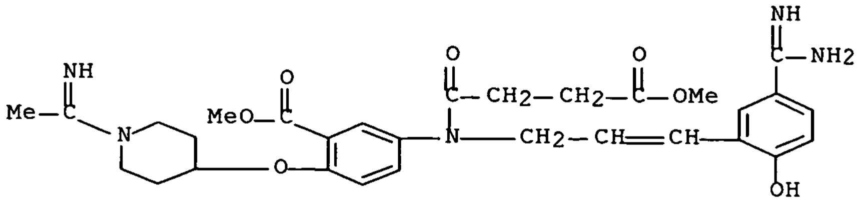
RN 325457-06-5 HCAPLUS

CN 1-Piperidinecarboxamide, 4-[4-[[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl]amino]-2-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)



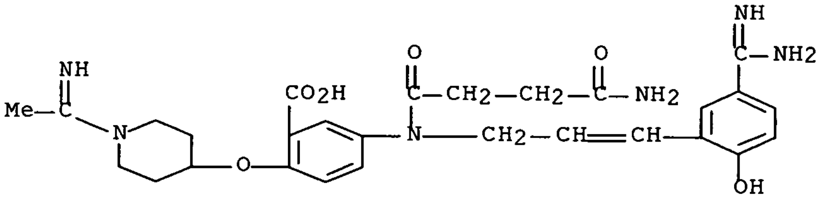
RN 325457-07-6 HCAPLUS

CN Benzoic acid, 5-[[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl](4-methoxy-1,4-dioxobutyl)amino]-2-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



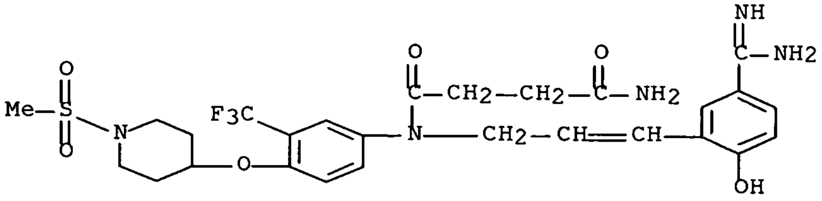
RN 325457-08-7 HCAPLUS

CN Benzoic acid, 5-[(4-amino-1,4-dioxobutyl)[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl]amino]-2-[[1-(1-iminoethyl)-4-piperidinyl]oxy]- (9CI) (CA INDEX NAME)



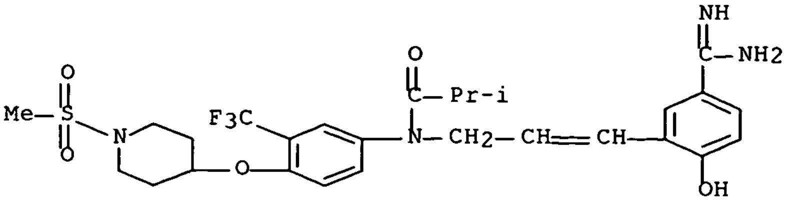
RN 325457-09-8 HCAPLUS

CN Butanediamide, N-[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl]-N-[4-[[1-(methylsulfonyl)-4-piperidinyl]oxy]-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



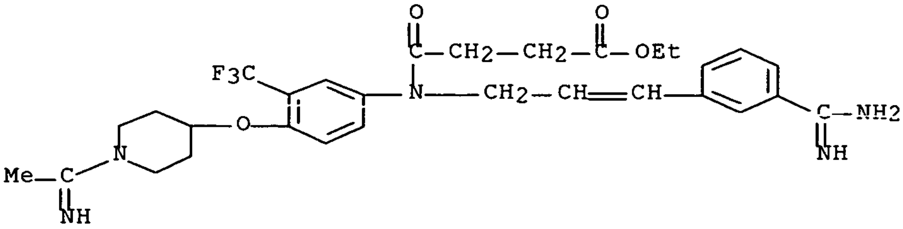
RN 325457-10-1 HCAPLUS

CN Propanamide, N-[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl]-2-methyl-N-[4-[[1-(methylsulfonyl)-4-piperidinyl]oxy]-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



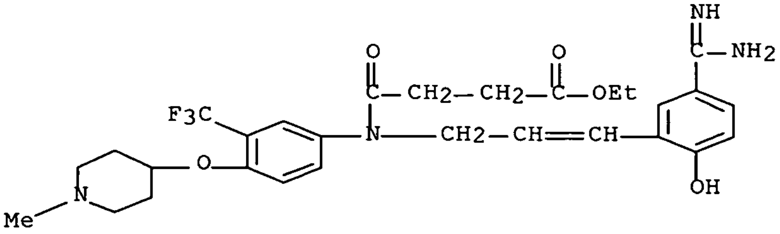
RN 325457-11-2 HCAPLUS

CN Butanoic acid, 4-[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-(trifluoromethyl)phenyl]amino]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



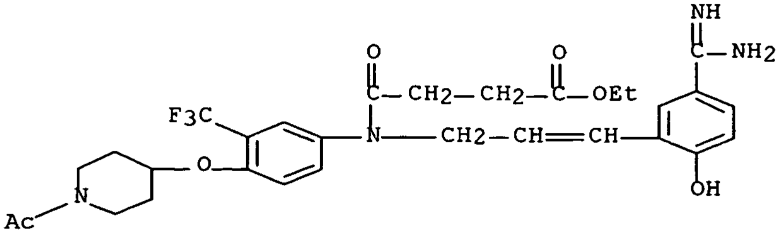
RN 325457-12-3 HCAPLUS

CN Butanoic acid, 4-[[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl][4-[(1-methyl-4-piperidinyl)oxy]-3-(trifluoromethyl)phenyl]amino]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)

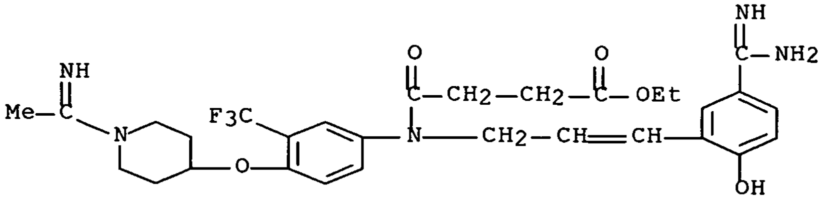


RN 325457-13-4 HCAPLUS

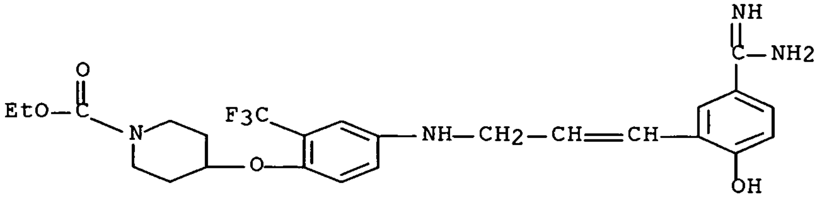
CN Butanoic acid, 4-[[4-[(1-acetyl-4-piperidinyl)oxy]-3-(trifluoromethyl)phenyl][3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl]amino]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



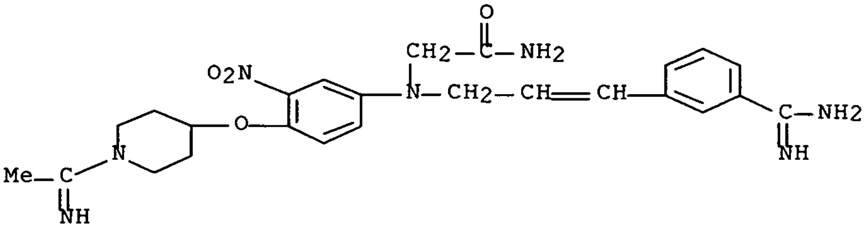
RN 325457-14-5 HCAPLUS
CN Butanoic acid, 4-[[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-(trifluoromethyl)phenyl]amino]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



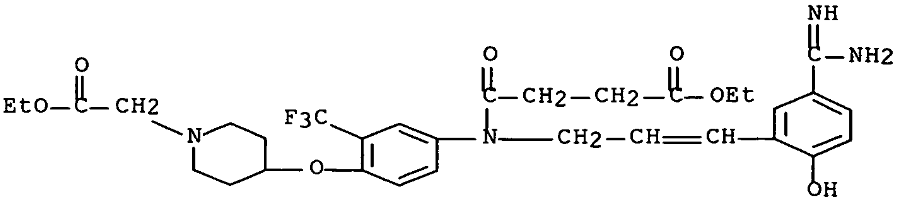
RN 325457-15-6 HCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[4-[[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl]amino]-2-(trifluoromethyl)phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 325457-16-7 HCAPLUS
CN Acetamide, 2-[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-nitrophenyl]amino]- (9CI) (CA INDEX NAME)



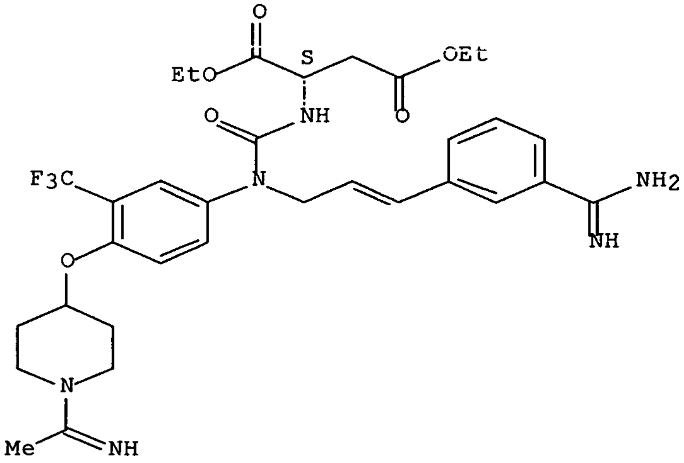
RN 325457-17-8 HCAPLUS
CN 1-Piperidineacetic acid, 4-[4-[[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl](4-ethoxy-1,4-dioxobutyl)amino]-2-(trifluoromethyl)phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 325457-18-9 HCAPLUS

CN L-Aspartic acid, N-[[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-(trifluoromethyl)phenyl]amino]carbonyl]-, diethyl ester (9CI) (CA INDEX NAME)

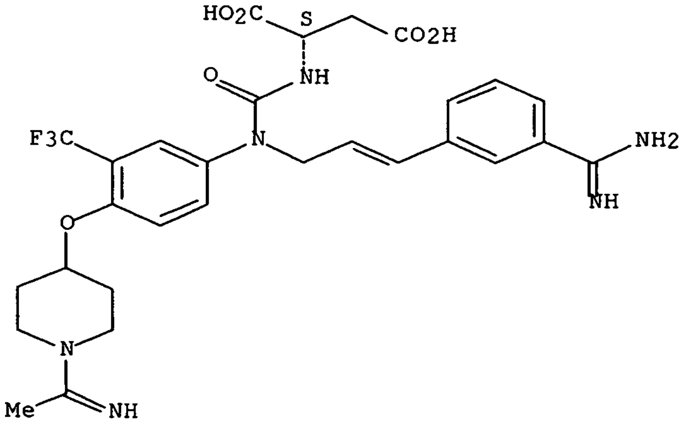
Absolute stereochemistry.
Double bond geometry unknown.



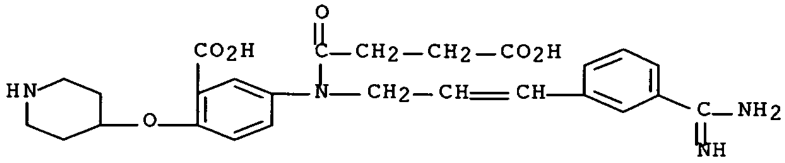
RN 325457-19-0 HCAPLUS

CN L-Aspartic acid, N-[[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-(trifluoromethyl)phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

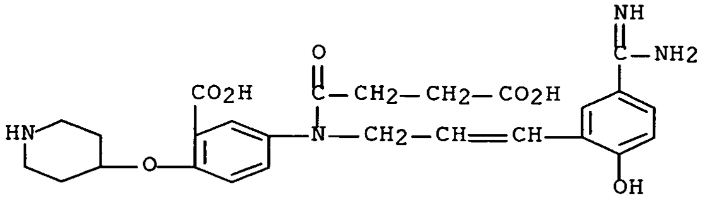
Absolute stereochemistry.
Double bond geometry unknown.



RN 325457-20-3 HCAPLUS
CN Benzoic acid, 5-[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl](3-carboxy-1-oxopropyl)amino]-2-(4-piperidinyloxy)- (9CI) (CA INDEX NAME)

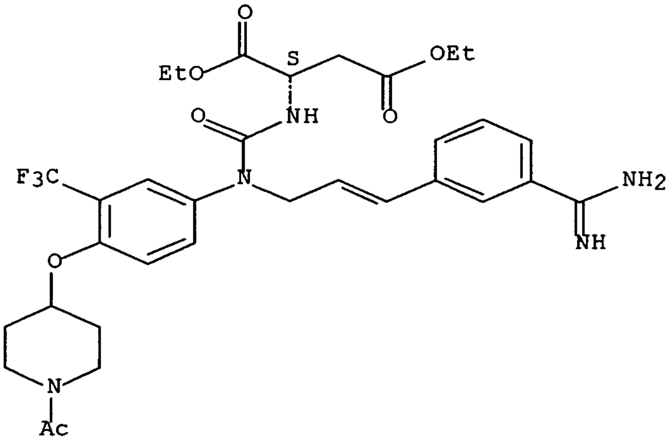


RN 325457-21-4 HCAPLUS
CN Benzoic acid, 5-[[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl](3-carboxy-1-oxopropyl)amino]-2-(4-piperidinyloxy)- (9CI) (CA INDEX NAME)

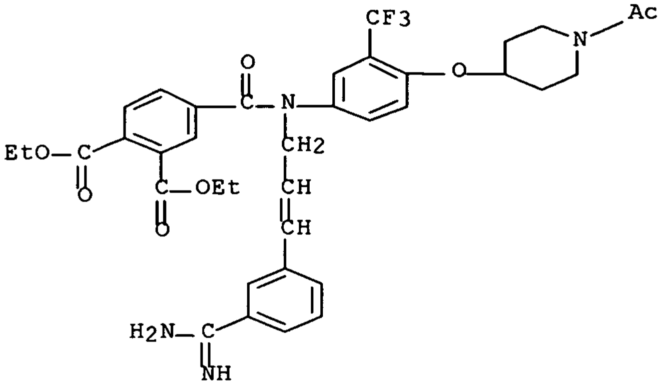


RN 325457-22-5 HCAPLUS
CN L-Aspartic acid, N-[[[4-[(1-acetyl-4-piperidinyl)oxy]-3-(trifluoromethyl)phenyl][3-[3-(aminoiminomethyl)phenyl]-2-propenyl]amino]carbonyl]-, diethyl ester (9CI) (CA INDEX NAME)

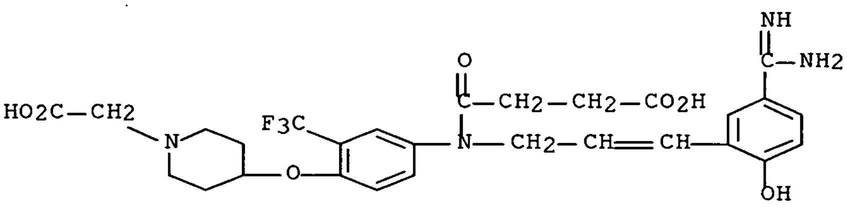
Absolute stereochemistry.
Double bond geometry unknown.



RN 325457-23-6 HCAPLUS
CN 1,2-Benzenedicarboxylic acid, 4-[[[4-[(1-acetyl-4-piperidinyl)oxy]-3-(trifluoromethyl)phenyl][3-[3-(aminoiminomethyl)phenyl]-2-propenyl]amino]carbonyl]-, diethyl ester (9CI) (CA INDEX NAME)

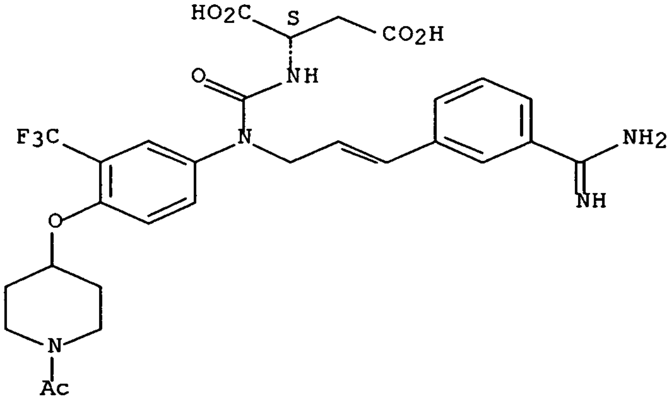


RN 325457-24-7 HCAPLUS
CN 1-Piperidineacetic acid, 4-[4-[[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-propenyl](3-carboxy-1-oxopropyl)amino]-2-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)



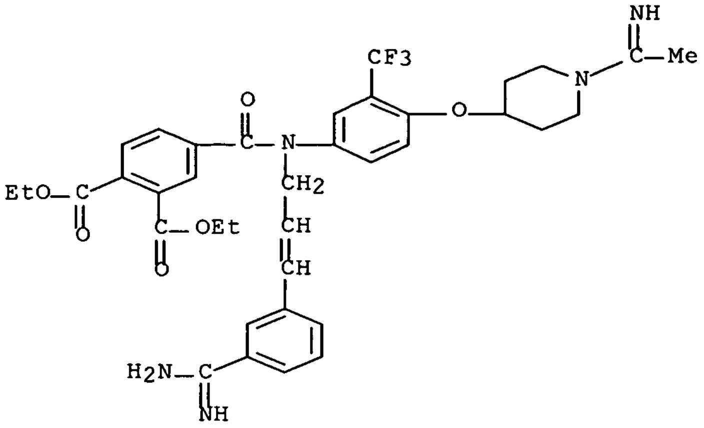
RN 325457-25-8 HCAPLUS
CN L-Aspartic acid, N-[[[4-[(1-acetyl-4-piperidinyl)oxy]-3-(trifluoromethyl)phenyl][3-[3-(aminoiminomethyl)phenyl]-2-propenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 325457-26-9 HCAPLUS
CN 1,2-Benzenedicarboxylic acid, 4-[[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-

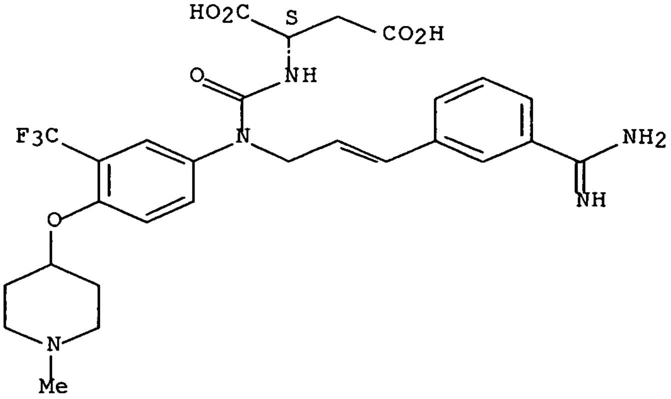
(trifluoromethyl)phenyl]amino]carbonyl]-, diethyl ester (9CI) (CA INDEX NAME)



RN 325457-27-0 HCAPLUS

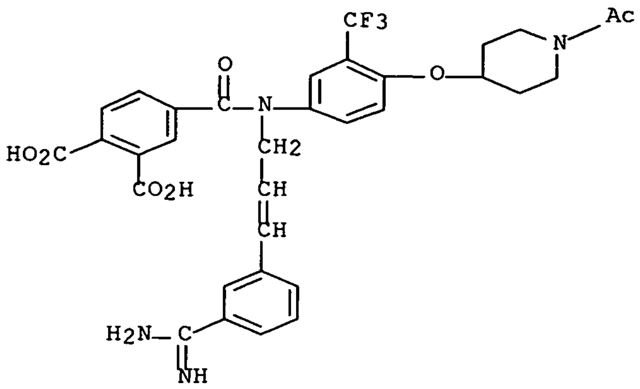
CN L-Aspartic acid, N-[[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl][4-[(1-methyl-4-piperidinyl)oxy]-3-(trifluoromethyl)phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 325457-28-1 HCAPLUS

CN 1,2-Benzenedicarboxylic acid, 4-[[[4-[(1-acetyl-4-piperidinyl)oxy]-3-(trifluoromethyl)phenyl][3-[3-(aminoiminomethyl)phenyl]-2-propenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



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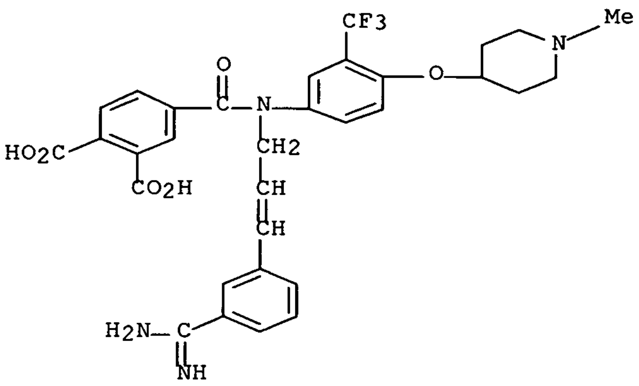
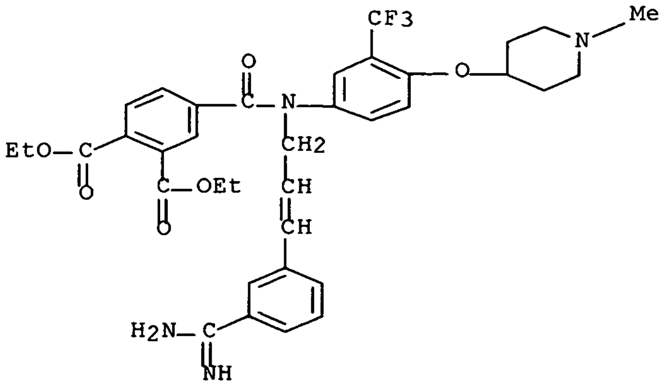
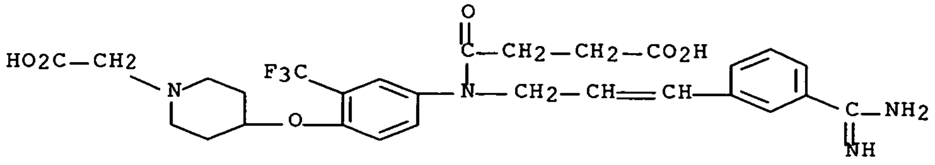
CN 1,2-Benzenedicarboxylic acid, 4-[[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl][4-[(1-methyl-4-piperidinyl)oxy]-3-(trifluoromethyl)phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



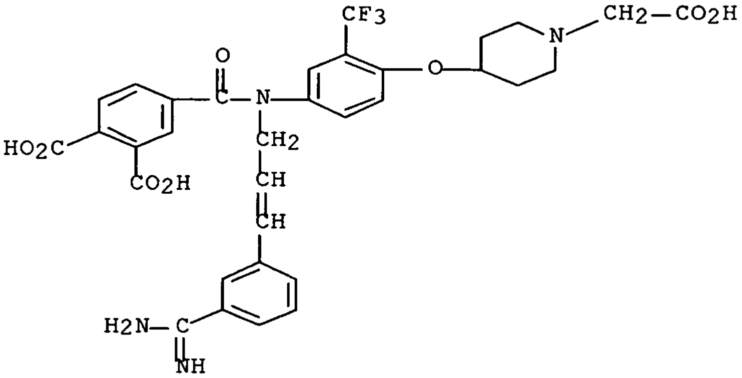
RN 325457-30-5 HCAPLUS
CN 1,2-Benzenedicarboxylic acid, 4-[[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl][4-[(1-methyl-4-piperidinyl)oxy]-3-(trifluoromethyl)phenyl]amino]carbonyl]-, diethyl ester (9CI) (CA INDEX NAME)



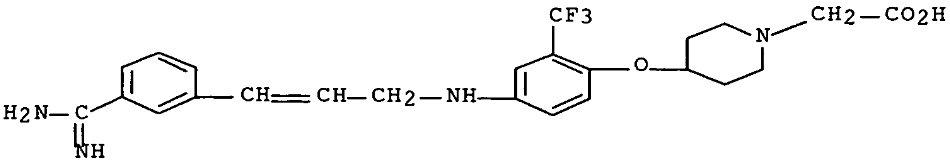
RN 325457-31-6 HCAPLUS
CN 1-Piperidineacetic acid, 4-[4-[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl](3-carboxy-1-oxopropyl)amino]-2-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)



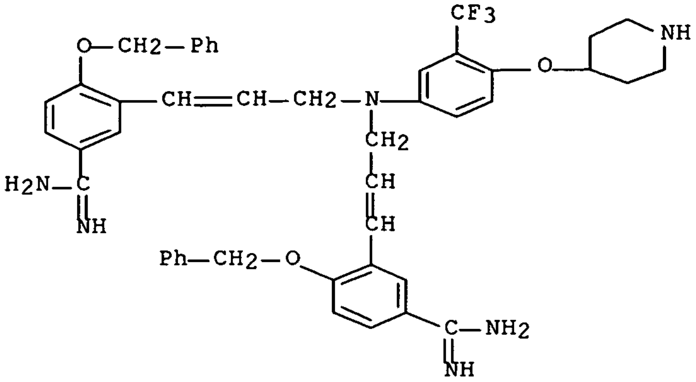
RN 325457-32-7 HCAPLUS
CN 1,2-Benzenedicarboxylic acid, 4-[[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl][4-[[1-(carboxymethyl)-4-piperidinyl]oxy]-3-(trifluoromethyl)phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



RN 325457-33-8 HCAPLUS
CN 1-Piperidineacetic acid, 4-[4-[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl]amino]-2-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)

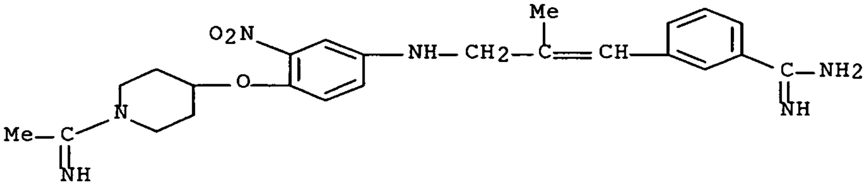


RN 325457-34-9 HCAPLUS
CN Benzenecarboximidamide, 3,3'-[[[4-(4-piperidinyloxy)-3-(trifluoromethyl)phenyl]imino]di-1-propene-3,1-diyl]bis[4-(phenylmethoxy)- (9CI) (CA INDEX NAME)



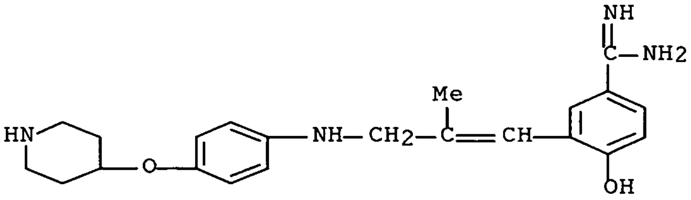
RN 325457-35-0 HCAPLUS

CN Benzenecarboximidamide, 3-[3-[[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-nitrophenyl]amino]-2-methyl-1-propenyl]- (9CI) (CA INDEX NAME)



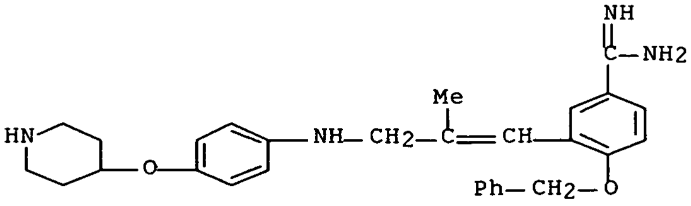
RN 325457-36-1 HCAPLUS

CN Benzenecarboximidamide, 4-hydroxy-3-[2-methyl-3-[[4-(4-piperidinyloxy)phenyl]amino]-1-propenyl]- (9CI) (CA INDEX NAME)



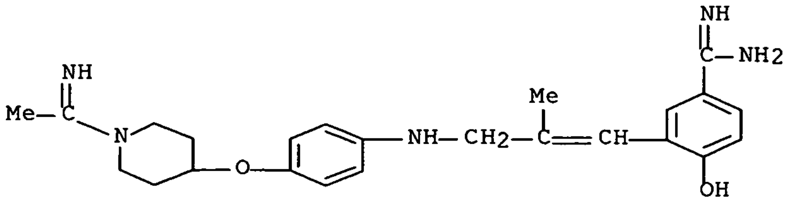
RN 325457-37-2 HCAPLUS

CN Benzenecarboximidamide, 3-[2-methyl-3-[[4-(4-piperidinyloxy)phenyl]amino]-1-propenyl]-4-(phenylmethoxy)- (9CI) (CA INDEX NAME)



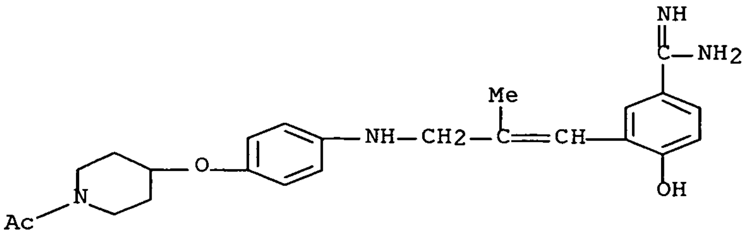
RN 325457-38-3 HCAPLUS

CN Benzenecarboximidamide, 4-hydroxy-3-[3-[[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]-2-methyl-1-propenyl]- (9CI) (CA INDEX NAME)



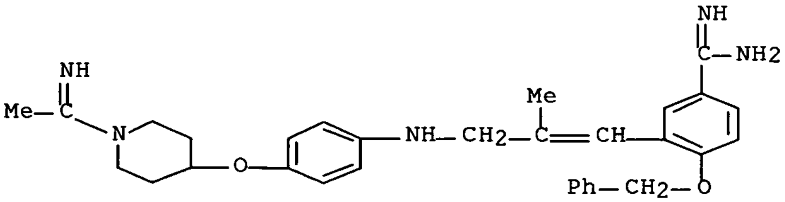
RN 325457-39-4 HCAPLUS

CN Piperidine, 1-acetyl-4-[4-[[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-methyl-2-propenyl]amino]phenoxy]- (9CI) (CA INDEX NAME)



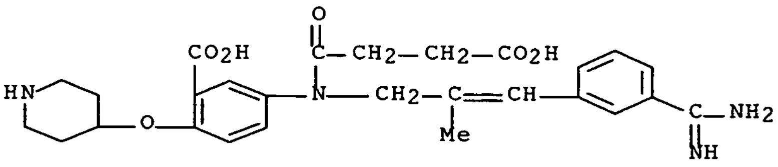
RN 325457-40-7 HCAPLUS

CN Benzenecarboximidamide, 3-[3-[[4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]-2-methyl-1-propenyl]-4-(phenylmethoxy)- (9CI) (CA INDEX NAME)

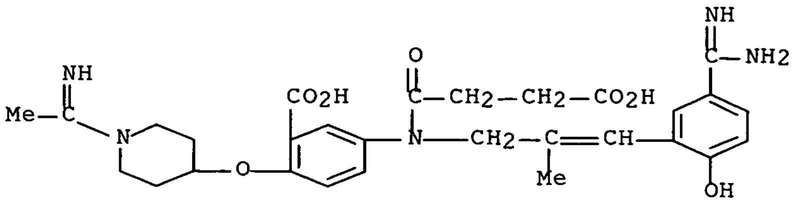


RN 325457-41-8 HCAPLUS

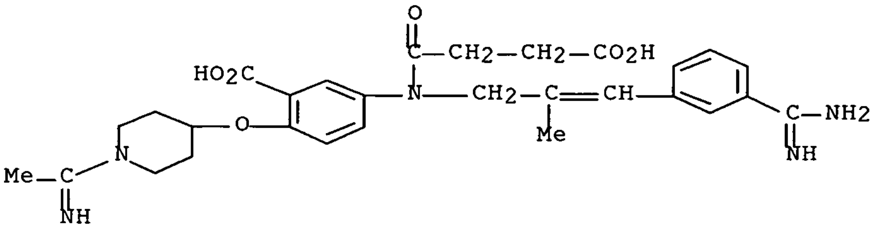
CN Benzoic acid, 5-[[3-[3-(aminoiminomethyl)phenyl]-2-methyl-2-propenyl](3-carboxy-1-oxopropyl)amino]-2-(4-piperidinyloxy)- (9CI) (CA INDEX NAME)



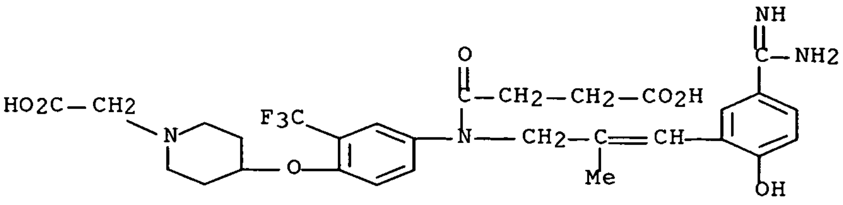
RN 325457-42-9 HCAPLUS
CN Benzoic acid, 5-[[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-methyl-2-propenyl](3-carboxy-1-oxopropyl)amino]-2-[[1-(1-iminoethyl)-4-piperidinyl]oxy]- (9CI) (CA INDEX NAME)



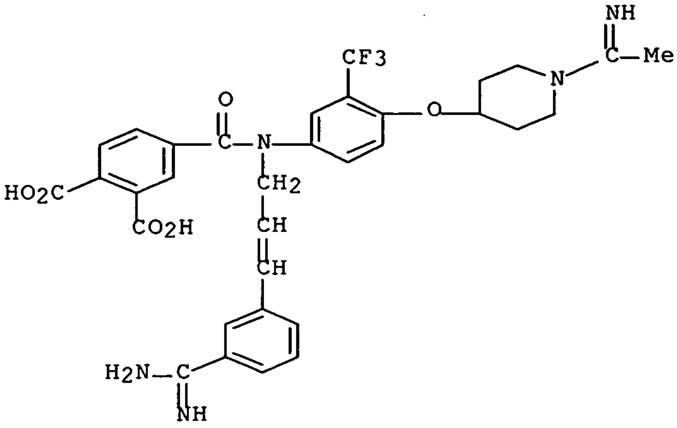
RN 325457-43-0 HCAPLUS
CN Benzoic acid, 5-[[3-[3-(aminoiminomethyl)phenyl]-2-methyl-2-propenyl](3-carboxy-1-oxopropyl)amino]-2-[[1-(1-iminoethyl)-4-piperidinyl]oxy]- (9CI) (CA INDEX NAME)



RN 325457-44-1 HCAPLUS
CN 1-Piperidineacetic acid, 4-[4-[[3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-methyl-2-propenyl](3-carboxy-1-oxopropyl)amino]-2-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)



RN 325458-43-3 HCAPLUS
CN 1,2-Benzenedicarboxylic acid, 4-[[[3-[3-(aminoiminomethyl)phenyl]-2-propenyl][4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-3-(trifluoromethyl)phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



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REFERENCE COUNT:         11    THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5   ANSWER 2 OF 2  HCAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:        2000:573773  HCAPLUS Full-text
DOCUMENT NUMBER:         133:177025
TITLE:                   Preparation of arylacrylamides and related compounds
                         as inhibitors of Factor Xa.
INVENTOR(S):             Song, Yonghong; Zhu, Bing-yan; Scarborough, Robert M.;
                         Clizbe, Lane; Jia, Zhaozhong Jon; Su, Ting; Teng,
                         Willy
PATENT ASSIGNEE(S):      Cor Therapeutics Inc., USA
SOURCE:                  PCT Int. Appl., 159 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  2
PATENT INFORMATION:

    PATENT NO.           KIND   DATE        APPLICATION NO.        DATE
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    WO 2000047554         A3    20010809
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            IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
            MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
            SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ,
            BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
            DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
            CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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    US 6545054            B1    20030408    US 2000-501370         20000211
PRIORITY APPLN. INFO.:                      US 1999-119640P     P  19990211
                                            WO 2000-US3405      W  20000211
OTHER SOURCE(S):         MARPAT 133:177025
AB    ABDECG1:CG2KL [A = (substituted) Ph, naphthyl, (aromatic) heterocyclyl; B = bond,
      CO, NR3, CR3aR3b, CONR3, SO2, O, SO2NR, NR3SO2, etc.; R3, R3a, R3b = H, alkyl,
      alkenyl, alkynyl, cycloalkyl, alkylphenyl, etc.; D = (substituted) Ph, heteroaryl; E
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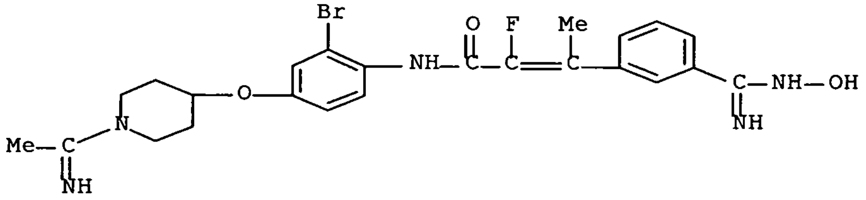
= bond, CO, CONR5, SO2NR5, CH2SO2, etc.; R5 = H, OH, alkoxy, alkyl, alkenyl, alkynyl, cycloalkyl, alkylphenyl, etc.; K = (substituted) Ph, naphthyl, mono- or bicyclic heterocyclyl; L = H, cyano, CONR12R13, (CH2)nNR12R13, etc.; n = 0-2; R12, R13 = H, OR14, NR14R15, alkyl, (substituted) alkylphenyl, alkylnaphthyl, etc.; R14, R15 = H, alkyl, alkoxycarbonyl, CONH2, alkyl, etc.; G1, G2 = H, halo, alkyl, haloalkyl, cyano, NO2, alkenyl, alkynyl, cycloalkyl, cyanoalkyl, etc.], were prepared as inhibitors of Factor Xa (no data). Thus, [[2-(4-aminophenyl)phenyl]sulfonyl]tert-butylamine (preparation given) in CH2Cl2 was treated with Me3Al in hexane and then with Me 3-(3-cyanophenyl)acrylate to give 19% N-[4-[(2-tert- butylaminosulfonyl)phenyl]phenyl]-3-(3-cyanophenyl)acrylamide. The latter in MeOH was treated with HCl to give a residue which was refluxed with NH4OAc in MeOH to give 35% (2E)-N-[4-[(2-aminosulfonyl)phenyl]phenyl]-3-(3- amidinophenyl)-3-acrylamide.

IT **288308-52-1P 288308-66-7P 288308-95-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylacrylamides and related compds. as inhibitors of Factor Xa)

RN 288308-52-1 HCAPLUS
CN 2-Butenamide, N-[2-bromo-4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]-2-fluoro-3-[3-[(hydroxyamino)iminomethyl]phenyl]- (9CI) (CA INDEX NAME)



RN 288308-66-7 HCAPLUS
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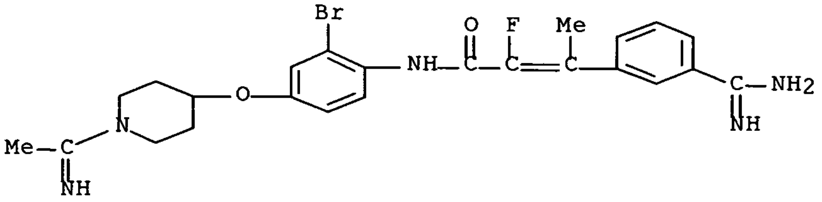
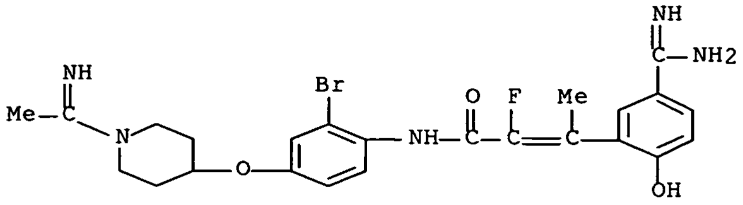


RN 288308-95-2 HCAPLUS
CN 2-Butenamide, 3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-N-[2-bromo-4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]-2-fluoro- (9CI) (CA INDEX NAME)



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L6            8 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L4 NOT L5


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L6   ANSWER 1 OF 8  HCAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:        2004:411619  HCAPLUS Full-text
DOCUMENT NUMBER:         140:400071
TITLE:                   Blood-coagulation factor Xa inhibitors for
                         prophylactic or therapeutic treatment of cerebral or
                         myocardial infarction and peripheral circulation
                         disorder
INVENTOR(S):             Fujimoto, Koichi; Tanaka, Naoki; Shimada, Ikuko; Asai,
                         Fumitoshi
PATENT ASSIGNEE(S):      Sankyo Co., Ltd., Japan
SOURCE:                  Jpn. Kokai Tokkyo Koho, 189 pp.
                         CODEN: JKXXAF
DOCUMENT TYPE:           Patent
LANGUAGE:                Japanese
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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     JP 2004143164        A2    20040520    JP 2003-345161         20031003
PRIORITY APPLN. INFO.:                      JP 2002-290838      A  20021003
OTHER SOURCE(S):         MARPAT 140:400071
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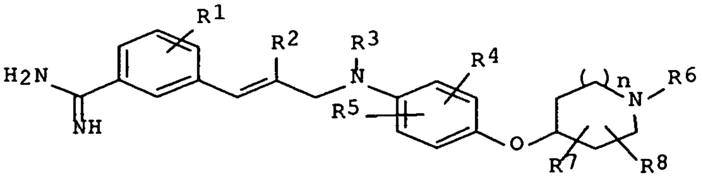

I

AB Title inhibitors contain benzamidines I [R1 = H, halo, C1-6 alkyl, OH; R2 = H, halo; R3 = H, C1-6 alkyl, C2-7 carboxyalkyl, C1-6 alkylsulfonyl, etc.; R4, R5 = H, halo, C1-6 (halo)alkyl, C1-6 alkoxy, CO2H, alkylcarbamoyl, etc.; R6 = H, C1-6 alkyl, C3-8 cycloalkyl, c7-16 aralkyl, C6-10 aryl, heterocyclyl, etc.; R7, R8 = H, C1-6 alkyl; R6R7 or R7R8 may be bonded to form C2-5 alkylene; n = 0-2], their pharmacol. acceptable salts, or their prodrugs. Thus, N-[3-(3-amidinophenyl)-2(E)-propenyl]-N-[3-chloro-4-(1-ethylpiperidin-4-yloxy)phenyl]sulfamoylacetic acid 2HCl salt inhibited factor Xa with IC50 value of 10 nM.

IT **470475-79-7P 470475-80-0P 470475-81-1P**
470475-82-2P 470475-83-3P 470475-84-4P
470475-85-5P 470475-86-6P 470475-87-7P
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470476-81-4P 470476-82-5P 470476-83-6P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzamidines as blood-coagulation factor Xa inhibitors for treatment of cardiovascular diseases)

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470476-87-0P 470476-88-1P, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-carbamoyl-4-(piperidin-4-yloxy)phenyl]methanesulfonamide dihydrochloride **470476-89-2P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-carbamoyl-4-(piperidin-4-yloxy)phenyl]ethanesulfonamide dihydrochloride **475504-96-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzamidines as blood-coagulation factor Xa inhibitors for treatment of cardiovascular diseases)

L6 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:15495 HCAPLUS Full-text
DOCUMENT NUMBER: 138:66685
TITLE: Factor Xa inhibitors containing benzamidine derivatives and their uses
INVENTOR(S): Fujimoto, Koichi; Asai, Fumitoshi
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 165 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
JP 2003002832	A2	20030108	JP 2002-115729		20020418
PRIORITY APPLN. INFO.:			JP 2001-120523	A	20010419

OTHER SOURCE(S): MARPAT 138:66685
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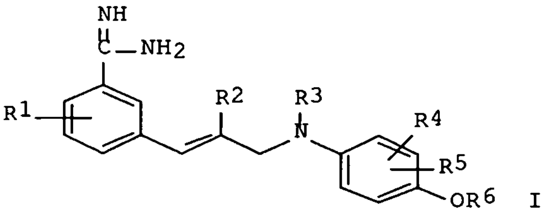

I

AB Factor Xa inhibitors, useful for prevention or treatment of blood coagulation disorders, cerebral infarction, myocardial infarction, peripheral circulatory disorders, etc., contain benzamidine derivs. I [R1 = H, halo, C1-6 alkyl, OH; R2 = H, halo, C1-6 alkyl; R3 = H, C1-6 alkyl which may be substituted with OH, CO2H, or C1-6 alkoxy-carbonyl, (CH2)nCO(CH2)mCO2R7 (R7 = C1-6 alkyl; m, n = 1-6), C7-15 aralkyl, C1-6 alkanoyl, C2-6 hydroxyalkanoyl, C1-6 alkylsulfonyl, C1-6 alkoxy-carbonyl, carboxyl-C1-6 alkylsulfonyl; R4, R5 = H, halo, C1-6 (halo)alkyl, C1-6 alkoxy, CO2H, C1-6 alkoxy-carbonyl, CONH2, C1-6 alkyl-carbamoyl, di(C1-6 alkyl)carbamoyl; R6 = 1-acetimidoylpyrrolidin-3-yl, 1-acetimidoylpiperidin- 4-yl] or their pharmacol. acceptable salts. IC50 of N-[4-(1- acetimidoylpiperidin-4-yloxy)-3-chlorophenyl]-N-[3-(3-amidinophenyl)-2-(E)- propenyl]sulfamoylacetic acid dihydrochloride (II; preparation given) for human factor Xa was 7.4 nM. Pharmaceutical prepns. containing II were also formulated.

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         337529-53-0
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         475504-99-5P 482309-96-6P
         RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
         (Reactant or reagent)
            (preparation of benzamidine derivs. as factor Xa inhibitors for treatment of
            thrombotic disorders)

L6   ANSWER 3 OF 8  HCAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         2002:868737  HCAPLUS Full-text
DOCUMENT NUMBER:          137:369982
TITLE:                    Preparation of 2-[3-[4-(4-piperidinyloxy)anilino]-1-
                          propenyl]benzamidine derivatives and composition
                          containing them for iontophoresis
INVENTOR(S):              Fujimoto, Koichi; Tanaka, Naoki; Shimada, Ikuko; Asai,
                          Fumitoshi; Inoue, Kazuhiro; Okada, Junichi
PATENT ASSIGNEE(S):       Sankyo Company, Limited, Japan
SOURCE:                   PCT Int. Appl., 400 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 Japanese
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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     WO 2002089803        A1    20021114    WO 2002-JP4422         20020507
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GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
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BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
JP 2003040773 A2 20030213 JP 2002-131052 20020507
PRIORITY APPLN. INFO.: JP 2001-136159 A 20010507
OTHER SOURCE(S): MARPAT 137:369982
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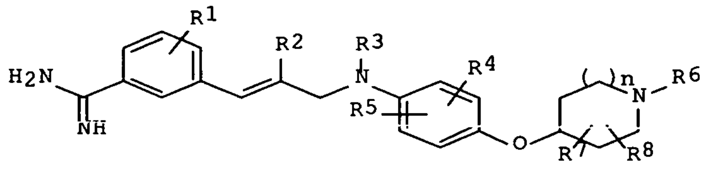

I

AB An iontophoresis composition for blood clotting factor X inhibitors which contains either a benzamidine derivative having the general formula (I) [wherein R1 = H, halo, alkyl, HO; R2 = H, halo, C1-6 alkyl; R3 = H, C1-6 alkyl, C1-6 hydroxyalkyl, C2-7 carboxyalkyl, C3-13 alkoxycarbonylalkyl, C7-16 aralkyl, C2-7 aliphatic acyl, C2-7 hydroxy-aliphatic acyl, C1-6 alkylsulfonyl, C3-13 alkoxycarbonylalkylsulfonyl, C2-7 carboxyalkylsulfonyl, C3-8 carboxyalkylcarbonyl; R4, R5 = H, halo, C1-6 alkyl, C1-6 haloalkyl, C1-6 alkoxy, CO2H, C2-7 alkoxycarbonyl, CONH2, C2-7 monoalkyl or C3-13 dialkylcarbamoyl; R6 = H, C1-6 alkyl, C3-8 cycloalkyl, C7-16 aralkyl, heterocyclyl-C1-6 alkyl, C2-7 carboxyalkyl, C3-13 alkoxycarbonylalkyl, C2-7 aliphatic acyl, C7-11 aromatic acyl, CONH2, C1-6 alkylsulfonyl, C6-10 aryl, heterocyclyl, formimidoyl, C2-7 1-iminoalkyl, C2-7 N-alkylformimidoyl, C7-11 iminoarylmethyl; R7, R8 = H, C1-6 alkyl; or R6 and R7 or R7 and R8 together represent C2-5 alkylene; n = 0, 1,2] or a pharmacol. acceptable salt of the derivative is disclosed. The compds. I are readily absorbed through skin and useful as remedies or preventives for thrombus or embolus by iontophoresis. Thus, 0.39 g Et acetimidate hydrochloride and 0.87 mL Et3N were added to a solution of [N-[(E)-3-(3-amidinophenyl)-2-methyl-2-propenyl]-N-[3-carbamoyl-4- (piperidin-4-yloxy)phenyl]sulfamoyl]acetic acid Et ester in 20 mL ethanol and stirred at room temperature for 6 h to give 75% [N-[4-((1- acetimidoylpiperidin-4-yl)oxy)-3-carbamoyl-N-[(E)-3-(3-amidinophenyl)-2- methyl-2-propenyl]phenyl]sulfamoyl]acetic acid Et ester dihydrochloride which (0.64 g) was dissolved in 20 mL 3 N aqueous HCl and heated at 80° for 2 h to give [N-[4-((1-acetimidoylpiperidin-4-yl)oxy)-3-carbamoylphenyl]-N-[(E)-3-(3-amidinophenyl)-2-methyl-2- propenyl]sulfamoyl]acetic acid dihydrochloride (II). II in vitro exhibited an iontophoresis skin permeability (flux) of 90±7 μg/h/cm2 using a hairless mice skin at skin current of 100 μA/cm2. The 15 compds. I exhibited higher skin permeability compared to two reference compds.

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     (Preparation); RACT (Reactant or reagent); USES (Uses)
        (preparation of [[(piperidinyloxy)anilino]propenyl]benzamidine derivs. as
        blood clotting factor X inhibitors for treatment of thrombus and
        embolus by iontophoresis)
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     475504-69-9P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
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        (preparation of [[(piperidinyloxy)anilino]propenyl]benzamidine derivs. as
        blood clotting factor X inhibitors for treatment of thrombus and
        embolus by iontophoresis)
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        blood clotting factor X inhibitors for treatment of thrombus and
        embolus by iontophoresis)
REFERENCE COUNT:         6      THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
                                RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6   ANSWER 4 OF 8  HCAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:        2002:793603  HCAPLUS Full-text
DOCUMENT NUMBER:         137:310926
TITLE:                   Preparation of benzamidine derivatives as inhibitors
                         of activated blood coagulation factor X
INVENTOR(S):             Fujimoto, Koichi; Tanaka, Naoki; Shimada, Ikuko; Asai,
                         Fumitoshi
PATENT ASSIGNEE(S):      Sankyo Company, Limited, Japan
SOURCE:                  PCT Int. Appl., 314 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                Japanese
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE       APPLICATION NO.        DATE
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     EP 1375482           A1    20040102   EP 2002-714444         20020403
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     JP 2002363159        A2    20021218   JP 2002-102486         20020404
     NO 2003004439        A     20031202   NO 2003-4439           20031003
     US 2004147555        A1    20040729   US 2003-679215         20031003
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PRIORITY APPLN. INFO.: JP 2001-107615 A 20010405
WO 2002-JP3355 W 20020403

OTHER SOURCE(S): MARPAT 137:310926

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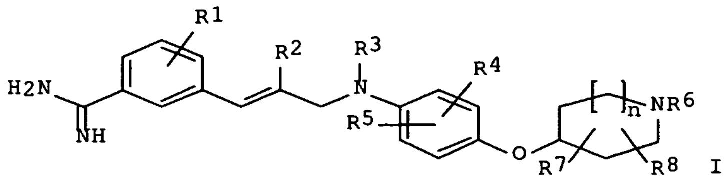

AB The title compds. I [R1 represents a hydrogen atom, a halogen atom, an alkyl group or a hydroxyl group, R2 represents a hydrogen atom or a halogen atom, R3 represents a hydrogen atom, an alkyl group optionally substituted, an aralkyl group, an alkylcarbonyl group optionally substituted, an alkylsulfonyl group optionally substituted, or the like, R4 and R5 each represent a hydrogen atom, a halogen atom, an alkyl or carbamoyl group optionally substituted, or the like, R6 represents a hetero-ring or the like, R7 and R8 each represent a hydrogen atom, an alkyl group, or the like, and n represents 0,1 or 2] are prepared I are useful in the therapy or prevention of blood coagulation diseases. Compds. of this invention in vitro showed IC50 values of 5.8 nM to 15 nM against factor Xa. Formulations are given.

IT **470475-79-7P,** N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-choro-4-(1-methylpiperidin-4-yloxy)phenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470475-80-0P,** N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-choro-4-(1-methylpiperidin-4-yloxy)phenyl]sulfamoylacetic acid dihydrochloride **470475-81-1P,** N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-choro-4-(1-ethylpiperidin-4-yloxy)phenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470475-82-2P,** N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-choro-4-(1-ethylpiperidin-4-yloxy)phenyl]sulfamoylacetic acid dihydrochyloride **470475-83-3P,** N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-choro-4-(1-isopropylpiperidin-4-yloxy)phenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470475-84-4P,** N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-choro-4-(1-isopropylpiperidin-4-yloxy)phenyl]sulfamoylacetic acid dihydrochloride **470475-85-5P,** N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[4-(1-butylpiperidin-4-yloxy)-3-chorophenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470475-86-6P,** N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[4-(1-butylpiperidin-4-yloxy)-3-chorophenyl]sulfamoylacetic acid dihydrochloride **470475-87-7P,** N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[4-(1-benzylpiperidin-4-yloxy)-3-chorophenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470475-88-8P,** N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[4-(1-benzylpiperidin-4-yloxy)-3-chorophenyl]sulfamoylacetic acid dihydrochloride **470475-89-9P,** N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-(1-phenethylpiperidin-4-yloxy)phenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470475-90-2P,** N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-(1-phenethylpiperidin-4-yloxy)phenyl]sulfamoylacetic acid dihydrochloride **470475-91-3P,** N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-(1-phenylpiperidin-4-yloxy)phenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470475-92-4P,** N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-(1-phenylpiperidin-4-yloxy)phenyl]sulfamoylacetic acid dihydrochloride **470475-93-5P,** N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-(1-methoxycarbonylmethylpiperidin-4-yloxy)phenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470475-94-6P,** N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[4-(1-carboxymethylpiperidin-4-yloxy)-3-chorophenyl]sulfamoylacetic acid dihydrochloride **470475-95-7P**, N-[4-(1-Acetylpiperidin-4-yloxy)-3-chlorophenyl]-N-[3-(3-amidinophenyl)-2-(E)-propenyl]sulfamoylacetic acid ethyl ester hydrochloride **470475-96-8P**, N-[4-(1-Acetylpiperidin-4-yloxy)-3-chlorophenyl]-N-[3-(3-amidinophenyl)-2-(E)-propenyl]sulfamoylacetic acid hydrochloride **470475-97-9P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[4-(1-carbamoylpiperidin-4-yloxy)-3-chorophenyl]sulfamoylacetic acid ethyl ester hydrochloride **470475-98-0P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[4-(1-carbamoylpiperidin-4-yloxy)-3-chorophenyl]sulfamoylacetic acid hydrochloride **470475-99-1P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-(1-methanesufonylpiperidin-4-yloxy)phenyl]sulfamoylacetic acid ethyl ester hydrochloride **470476-00-7P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-(1-methanesufonylpiperidin-4-yloxy)phenyl]sulfamoylacetic acid hydrochloride **470476-01-8P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-[1-(2-pyridyl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470476-02-9P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-[1-(2-pyridyl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid dihydrochloride **470476-03-0P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-[1-(3-pyridyl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470476-04-1P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-[1-(3-pyridyl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid dihydrochloride **470476-05-2P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-[1-(4-pyridyl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470476-06-3P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-[1-(4-pyridyl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid dihydrochloride **470476-07-4P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-[1-(2-pyrimidyl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470476-08-5P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-[1-(2-pyrimidyl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid dihydrochloride **470476-09-6P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-[1-(3-pyridylmethyl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid ethyl ester trihydrochloride **470476-10-9P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-[1-(3-pyridylmethyl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid trihydrochloride **470476-11-0P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-[1-(4-pyridylmethyl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid ethyl ester trihydrochloride **470476-12-1P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-[1-(4-pyridylmethyl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid trihydrochloride **470476-13-2P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-[1-[2-(2-pyridyl)ethyl]piperidin-4-yloxy]phenyl]sulfamoylacetic acid ethyl ester trihydrochloride **470476-14-3P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-[1-[2-(2-pyridyl)ethyl]piperidin-4-yloxy]phenyl]sulfamoylacetic acid trihydrochloride **470476-15-4P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-(1-cyclopentylpiperidin-4-yloxy)phenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470476-16-5P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-(1-cyclopentylpiperidin-4-yloxy)phenyl]sulfamoylacetic acid dihydrochloride **470476-17-6P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-(1,2-dimethylpiperidin-4-yloxy)phenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470476-18-7P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-(1,2-dimethylpiperidin-4-yloxy)phenyl]sulfamoylacetic acid dihydrochloride **470476-19-8P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-(indolizin-7-yloxy)phenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470476-20-1P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-

(indolizin-7-yloxy)phenyl]sulfamoylacetic acid dihydrochloride **470476-21-2P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[4-(1-methylpiperidin-4-yloxy)phenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470476-22-3P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[4-(1-methylpiperidin-4-yloxy)phenyl]sulfamoylacetic acid dihydrochloride **470476-23-4P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[4-(1-methylpiperidin-4-yloxy)-3-trifluoromethylphenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470476-24-5P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[4-(1-methylpiperidin-4-yloxy)-3-trifluoromethylphenyl]sulfamoylacetic acid dihydrochloride **470476-25-6P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-(1-formimidoylpiperidin-4-yloxy)phenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470476-26-7P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-(1-formimidoylpiperidin-4-yloxy)phenyl]sulfamoylacetic acid dihydrochloride **470476-27-8P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-[1-(1-iminopropyl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470476-28-9P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-[1-(1-iminopropyl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid dihydrochloride **470476-29-0P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[4-(1-iminophenylmethylpiperidin-4-yloxy)-3-chlorophenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470476-30-3P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[4-[1-iminophenylmethylpiperidin-4-yloxy]-3-chlorophenyl]sulfamoylacetic acid dihydrochloride **470476-31-4P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-[1-(4,5-dihydro-3H-pyrrol-2-yl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470476-32-5P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-[1-(4,5-dihydro-3H-pyrrol-2-yl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid dihydrochloride **470476-33-6P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-[1-(2,3,4,5-tetrahydropyridin-6-yl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470476-34-7P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-[1-(2,3,4,5-tetrahydropyridin-6-yl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid dihydrochloride **470476-35-8P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-[1-(3,4,5,6-tetrahydro-2H-azepin-7-yl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470476-36-9P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-[1-(3,4,5,6-tetrahydro-2H-azepin-7-yl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid dihydrochloride **470476-37-0P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[4-[1-(4,5-dihydro-3H-pyrrol-2-yl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470476-38-1P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[4-[1-(4,5-dihydro-3H-pyrrol-2-yl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid dihydrochloride **470476-39-2P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[4-[1-(2,3,4,5-tetrahydropyridin-6-yl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470476-40-5P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[4-[1-(2,3,4,5-tetrahydropyridin-6-yl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid dihydrochloride **470476-41-6P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[4-[1-(3,4,5,6-tetrahydro-2H-azepin-7-yl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470476-42-7P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[4-[1-(3,4,5,6-tetrahydro-2H-azepin-7-yl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid dihydrochloride **470476-43-8P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[4-[1-(4,5-dihydro-3H-pyrrol-2-yl)piperidin-4-yloxy]-3-methylphenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470476-44-9P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[4-[1-(4,5-dihydro-3H-pyrrol-2-yl)piperidin-4-yloxy]-3-methylphenyl]sulfamoylacetic acid dihydrochloride **470476-45-0P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-methyl-4-[1-(2,3,4,5-tetrahydropyridin-6-yl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470476-46-1P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-methyl-4-[1-(2,3,4,5-tetrahydropyridin-6-yl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid dihydrochloride **470476-47-2P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-carbamoyl-4-[1-(4,5-dihydro-3H-pyrrol-2-yl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470476-48-3P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-carbamoyl-4-[1-(4,5-dihydro-3H-pyrrol-2-yl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid dihydrochloride **470476-49-4P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-carbamoyl-4-[1-(2,3,4,5-tetrahydropyridin-6-yl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470476-50-7P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-carbamoyl-4-[1-(2,3,4,5-tetrahydropyridin-6-yl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid dihydrochloride **470476-51-8P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-carbamoyl-4-[1-(3,4,5,6-tetrahydro-2H-azepin-7-yl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470476-52-9P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-carbamoyl-4-[1-(3,4,5,6-tetrahydro-2H-azepin-7-yl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid dihydrochloride **470476-53-0P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[4-[1-(4,5-dihydro-3H-pyrrol-2-yl)piperidin-4-yloxy]-3-trifluoromethylphenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470476-54-1P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[4-[1-(4,5-dihydro-3H-pyrrol-2-yl)piperidin-4-yloxy]-3-trifluoromethylphenyl]sulfamoylacetic acid dihydrochloride **470476-55-2P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[4-[1-(2,3,4,5-tetrahydropyridin-6-yl)piperidin-4-yloxy]-3-trifluoromethylphenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470476-56-3P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[4-[1-(2,3,4,5-tetrahydropyridin-6-yl)piperidin-4-yloxy]-3-trifluoromethylphenyl]sulfamoylacetic acid dihydrochloride **470476-57-4P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[4-[1-(3,4,5,6-tetrahydro-2H-azepin-7-yl)piperidin-4-yloxy]-3-trifluoromethylphenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470476-58-5P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[4-[1-(3,4,5,6-tetrahydro-2H-azepin-7-yl)piperidin-4-yloxy]-3-trifluoromethylphenyl]sulfamoylacetic acid dihydrochloride **470476-59-6P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-[1-(5,6-dihydro-2H-[1,4]thiazin-3-yl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470476-60-9P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-[1-(2,3,5,6-tetrafluoropyridin-4-yl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470476-61-0P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-[1-(2,3,5,6-tetrafluoropyridin-4-yl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid dihydrochloride **470476-62-1P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-[1-(N-ethylformimidoyl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470476-63-2P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-[1-(N-ethylformimidoyl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid dihydrochloride **470476-64-3P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-[1-(4,5-dihydrooxazol-2-yl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470476-65-4P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-[1-(4,5-dihydrooxazol-2-yl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid dihydrochloride **470476-66-5P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-[1-(3,4,5,6,7,8-hexahydro-2H-azonin-9-yl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid dihydrochloride **470476-67-6P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[4-[1-(4,5-dihydrooxazol-2-yl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470476-68-7P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[4-[1-(4,5-dihydrooxazol-2-yl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid dihydrochloride **470476-69-8P**, N-[3-(3-Amidinophenyl)-2-fluoro-2-

(Z)-propenyl]-N-[4-[1-(4,5-dihydro-3H-pyrrol-2-yl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470476-70-1P**, N-[3-(3-Amidinophenyl)-2-fluoro-2-(Z)-propenyl]-N-[4-[1-(4,5-dihydro-3H-pyrrol-2-yl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid dihydrochloride **470476-71-2P**, N-[3-(3-Amidinophenyl)-2-fluoro-2-(Z)-propenyl]-N-[3-carbamoyl-4-[1-(4,5-dihydro-3H-pyrrol-2-yl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470476-72-3P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-carbamoyl-4-[1-(4,5-dihydro-3H-pyrrol-2-yl)piperidin-4-yloxy]phenyl]methanesulfonamide dihydrochloride **470476-73-4P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-carbamoyl-4-[1-(4,5-dihydro-3H-pyrrol-2-yl)piperidin-4-yloxy]phenyl]ethanesulfonamide dihydrochloride **470476-74-5P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-carbamoyl-4-[1-(4,5-dihydrooxazol-2-yl)piperidin-4-yloxy]phenyl]methanesulfonamide dihydrochloride **470476-75-6P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-carbamoyl-4-[1-(4,5-dihydrothiazol-2-yl)piperidin-4-yloxy]phenyl]methanesulfonamide dihydrochloride **470476-76-7P**, N-[3-Chloro-4-(1-methylpiperidin-4-yloxy)phenyl]-N-[3-[3-(ethoxycarbonylamino)(imino)methylphenyl]-2-(E)-propenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470476-77-8P**, N-[3-Chloro-4-(1-methylpiperidin-4-yloxy)phenyl]-N-[3-[3-(imino)(4-methoxyphenoxycarbonylamino)methylphenyl]-2-(E)-propenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470476-78-9P**, N-[3-[3-(t-Butoxycarbonylamino)(imino)methylphenyl]-2-(E)-propenyl-N-[3-chloro-4-(1-methylpiperidin-4-yloxy)phenyl]sulfamoylacetic acid ethyl ester **470476-79-0P**, N-[3-Chloro-4-(1-methylpiperidin-4-yloxy)phenyl]-N-[3-[3-(4-fluorophenoxycarbonylamino)(imino)methylphenyl]-2-(E)-propenyl]sulfamoylacetic acid ethyl ester **470476-80-3P**, N-[3-Carbamoyl-4-[1-(4,5-dihydro-3H-pyrrol-2-yl)piperidin-4-yloxy)]phenyl]-N-[3-[3-(ethoxycarbonylamino)(imino)methylphenyl]-2-(E)-propenyl]methanesulfonamide dihydrochloride **470476-81-4P**, N-[3-Carbamoyl-4-[1-(4,5-dihydro-3H-pyrrol-2-yl)piperidin-4-yloxy]phenyl]-N-[3-[3-(imino)(pivaloylamino)methylphenyl]-2-(E)-propenyl]methanesulfonamide **470476-82-5P**, N-[3-[3-(Benzoylamino)(imino)methylphenyl]-2-(E)-propenyl]-N-[3-carbamoyl-4-[1-(4,5-dihydro-3H-pyrrol-2-yl)piperidin-4-yloxy]phenyl]methanesulfonamide **470476-83-6P**, N-[3-[3-(Acetylamino)(imino)methylphenyl]-2-(E)-propenyl]-N-[3-carbamoyl-4-[1-(4,5-dihydro-3H-pyrrol-2-yl)piperidin-4-yloxy]phenyl]methanesulfonamide **470476-84-7P**, N-[3-Carbamoyl-4-[1-(4,5-dihydro-3H-pyrrol-2-yl)piperidin-4-yloxy]phenyl]-N-[3-[3-(imino)(4-methoxyphenoxycarbonylamino)methylphenyl]-2-(E)-propenyl]methanesulfonamide **470478-03-6P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-methyl-4-[1-(3,4,5,6-tetrahydro-2H-azepin-7-yl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470478-04-7P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-methyl-4-[1-(3,4,5,6-tetrahydro-2H-azepin-7-yl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid dihydrochloride **470478-05-8P**, N-[3-(3-Amidinophenyl)-2-fluoro-2-(Z)-propenyl]-N-[3-carbamoyl-4-[1-(4,5-dihydro-3H-pyrrol-2-yl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid dihydrochloride **470690-52-9P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-(tropan-3-yloxy)phenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470690-53-0P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-(tropan-3-yloxy)phenyl]sulfamoylacetic acid dihydrochloride

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzamidine derivs. as inhibitors of activated blood coagulation factor X)

IT **337520-88-4P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[4-(piperidin-4-yloxy]phenyl]sulfamoylacetic acid ethyl ester dihydrochloride

337520-92-0P, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-(piperidin-4-yloxy]phenyl]sulfamoylacetic acid ethyl ester dihydrochloride **337520-98-6P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[4-(piperidin-4-yloxy)-3-trifluoromethylphenyl]sulfamoylacetic acid ethyl ester dihydrochloride **337521-00-3P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-methyl-4-(piperidin-4-yloxy)phenyl]sulfamoylacetic acid ethyl ester dihydrochloride **337521-32-1P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-carbamoyl-4-(piperidin-4-yloxy)phenyl]sulfamoylacetic acid ethyl ester dihydrochloride **470476-85-8P** **470476-86-9P**, N-[3-(3-Amidinophenyl)-2-fluoro-2-(Z)-propenyl]-N-[4-(piperidin-4-yloxy)phenyl]sulfamoylacetic acid ethyl ester **470476-87-0P**, N-[3-(3-Amidinophenyl)-2-fluoro-2-(Z)-propenyl]-N-[3-carbamoyl-4-(piperidin-4-yloxy)phenyl]sulfamoylacetic acid ethyl ester **470476-88-1P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-carbamoyl-4-(piperidin-4-yloxy)phenyl]methanesulfonamide dihydrochloride **470476-89-2P**, N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-carbamoyl-4-(piperidin-4-yloxy)phenyl]ethanesulfonamide dihydrochloride
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzamidine derivs. as inhibitors of activated blood coagulation factor X)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	2002:418361 HCAPLUS Full-text
DOCUMENT NUMBER:	138:82896
TITLE:	Design, synthesis and biological activity of YM-60828 derivatives: potent and orally-Bioavailable factor Xa inhibitors based on naphthoanilide and naphthalensulfonanilide templates
AUTHOR(S):	Hirayama, Fukushi; Koshio, Hiroyuki; Ishihara, Tsukasa; Watanuki, Susumu; Hachiya, Shunichiro; Kaizawa, Hiroyuki; Kuramochi, Takahiro; Katayama, Naoko; Kurihara, Hiroyuki; Taniuchi, Yuta; Sato, Kazuo; Sakai-Moritani, Yumiko; Kaku, Seiji; Kawasaki, Tomihisa; Matsumoto, Yuzo; Sakamoto, Shuichi; Tsukamoto, Shin-ichi
CORPORATE SOURCE:	Institute for Drug Discovery Research, Yamanouchi Pharmaceutical Co., Ltd., Ibaraki, 305-8585, Japan
SOURCE:	Bioorganic & Medicinal Chemistry (2002), 10(8), 2597-2610 CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER:	Elsevier Science Ltd.
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 138:82896

AB Factor Xa (FXa) is a serine protease which plays a pivotal role in the coagulation cascade. The inhibition of FXa has received great interest as a potential target for the development of new antithrombotic drug. Herein we describe a series of novel 7-amidino-2-naphthoanilide and 7-amidino-2-naphthalensulfonanilide derivs. which are potent FXa inhibitors. These scaffolds are rigid and are allowed to adopt an L-shape conformation which was estimated as the active conformation based on a docking study of YM-60828 with FXa. Optimization of the side chain at the central aniline nitrogen of 7-amidino-2-naphthoanilide has led to several potent and orally active FXa inhibitors. YM-169964, the best compound of these series, showed potent FXa inhibitory activity (IC50=3.9 nM) and effectively prolonged prothrombin time by 9.6-fold ex vivo at an oral dose of 3 mg/kg in squirrel monkeys.

IT **484065-82-9**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(design, synthesis and structure-activity relationship studies of

naphthoanilide and naphthalensulfonanilide derivs. which are potent factor Xa inhibitors)

REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	2002:287808 HCAPLUS Full-text
DOCUMENT NUMBER:	137:279065
TITLE:	Design and synthesis of aminophenol-based factor Xa inhibitors
AUTHOR(S):	Wu, Shung; Guilford, William J.; Chou, Yuo-Ling; Griedel, Brian D.; Liang, Amy; Sakata, Steve; Shaw, Kenneth J.; Trinh, Lan; Xu, Wei; Zhao, Zuchun; Morrissey, Michael M.
CORPORATE SOURCE:	Berlex Biosciences, Discovery Research, Richmond, CA, 94804-0099, USA
SOURCE:	Bioorganic & Medicinal Chemistry Letters (2002), 12(9), 1307-1310 CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER:	Elsevier Science Ltd.
DOCUMENT TYPE:	Journal
LANGUAGE:	English

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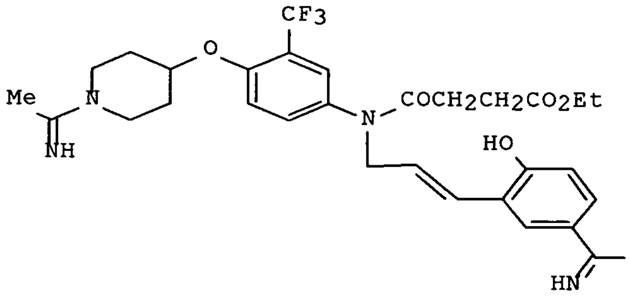

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AB A novel potent and selective aminophenol scaffold for fXa inhibitors was developed from a previously reported benzimidazole-based naphthylamidine template. The aminophenol template is more synthetically accessible than the benzimidazole template, which simplified the introduction of carboxylic acid groups. Substitution of a propenyl-p-hydroxybenzamidine group on the aminophenol template produced selective, sub-nanomolar fXa inhibitors. The potency of the inhibitors is partially explained with the aid of a trypsin complex crystal structure. Thus, the amidine I had Ki for inhibition of fXa 0.07 nM, vs. fIIa 2280 and trypsin 230 nM.

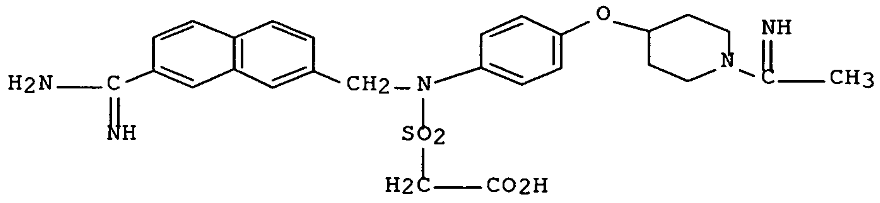
IT **325456-58-4P 325456-61-9P 325456-62-0P**
325456-64-2P 325456-71-1P 325456-72-2P
325456-87-9P 325456-89-1P 325456-99-3P
325457-00-9P 325457-06-5P 325457-11-2P
325457-14-5P 325457-24-7P 325458-43-3P
467226-29-5P 467226-38-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of piperidinyloxyanilinopropenylbenzamidines as selective fXa inhibitors)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:170731 HCAPLUS Full-text
DOCUMENT NUMBER: 137:226173
TITLE: The Discovery of YM-60828: A Potent, Selective and Orally-Bioavailable Factor Xa Inhibitor
AUTHOR(S): Hirayama, Fukushi; Koshio, Hiroyuki; Katayama, Naoko; Kurihara, Hiroyuki; Taniuchi, Yuta; Sato, Kazuo; Hisamichi, Nami; Sakai-Moritani, Yumiko; Kawasaki, Tomihisa; Matsumoto, Yuzo; Yanagisawa, Isao
CORPORATE SOURCE: Institute for Drug Discovery Research, Yamanouchi Pharmaceutical Co., Ltd., Tsukuba, Ibaraki, 305-8585, Japan
SOURCE: Bioorganic & Medicinal Chemistry (2002), 10(5), 1509-1523
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:226173
GI



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AB Since Factor Xa (FXa) is well known to play a central role in thrombosis and hemostasis, inhibition of FXa is an attractive target for antithrombotic strategies. As a part of our investigation of a non-peptide, orally available FXa inhibitor, we found that a series of N-[(7-amidino-2-naphthyl)methyl]aniline derivs. possessed potent and selective inhibitory activities. Structure-activity relation (SAR) of the substituent (R1) on the central aniline moiety suggested that increasing lipophilicity caused a detrimental effect on anticoagulant activity (prothrombin time assay) in plasma. Several compds. bearing a hydrophilic substituent in R1 showed not only potent FXa inhibitory activities but also high anticoagulant activities. The best compound in this series was sulfamoylacetic acid derivative YM-60828 (I) which was a potent, selective and orally bioavailable FXa inhibitor and was chosen for clin. development.

IT **454437-27-5P 454437-30-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and structure activity of N-[(7-amidino-2-naphthyl)methyl]aniline derivs. as potent, selective and orally-bioavailable factor Xa inhibitor)

REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:319870 HCAPLUS Full-text
DOCUMENT NUMBER: 134:340355

```
TITLE:                   Preparation of benzamidine derivatives as blood
                         coagulation factor X inhibitors
INVENTOR(S):             Fujimoto, Koichi; Asai, Fumitoshi; Matsuhashi, Hayao
PATENT ASSIGNEE(S):      Sankyo Co., Ltd., Japan
SOURCE:                  PCT Int. Appl., 386 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                Japanese
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE       APPLICATION NO.        DATE
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     WO 2001030756        A1    20010503   WO 2000-JP7469         20001025
         W:  AU, BR, CA, CN, CZ, HU, ID, IL, IN, KR, MX, NO, NZ, PL, RU, TR,
             US, ZA
         RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE
     AU 2000079574        A5    20010508   AU 2000-79574          20001025
     BR 2000015120        A     20020625   BR 2000-15120          20001025
     EP 1245564           A1    20021002   EP 2000-970070         20001025
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL
     TR 200201654         T2    20021223   TR 2002-200201654      20001025
     RU 2222529           C2    20040127   RU 2002-111343         20001025
     JP 2001192366        A2    20010717   JP 2000-327906         20001027
     US 6555556           B1    20030429   US 2002-132042         20020425
     NO 2002001990        A     20020625   NO 2002-1990           20020426
     US 2004010009        A1    20040115   US 2002-288838         20021106
PRIORITY APPLN. INFO.:                     JP 1999-307192      A  19991028
                                           WO 2000-JP7469      W  20001025
                                           US 2002-132042      A1 20020425
OTHER SOURCE(S):         MARPAT 134:340355
GI


* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

AB    Title compds. [I; R1 is hydrogen, halogeno, alkyl, or hydroxyl; R2 is hydrogen,
      halogeno, or alkyl; R3 is hydrogen, optionally substituted alkyl, aralkyl,
      optionally substituted alkanoyl, or optionally substituted alkylsulfonyl; R4 and R5
      are each independently hydrogen, halogeno, optionally substituted alkyl, alkoxy,
      carbonyl, alkoxycarbonyl, or optionally substituted carbamoyl; and R6 is substituted
      pyrrolidine or substituted piperidine] or pharmacol. acceptable salts are prepared
      as inhibitors against activated blood coagulation factor X and are useful as
      therapeutic or preventive drugs for diseases related to blood coagulation. Thus, the
      title compound II·2HCl was prepared and biol. tested as blood coagulation factor X
      inhibitor with IC50 = 3.7 nM.
IT    337519-04-7P 337519-05-8P 337519-09-2P
      337519-10-5P 337519-11-6P 337519-12-7P
      337519-23-0P 337519-24-1P 337519-29-6P
      337519-30-9P 337519-32-1P 337519-35-4P
      337519-37-6P 337519-39-8P 337519-42-3P
      337519-47-8P 337519-48-9P 337519-50-3P
      337519-55-8P 337519-56-9P 337519-57-0P
      337519-58-1P
      RL: BAC (Biological activity or effector, except adverse); BSU (Biological
      study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
      BIOL (Biological study); PREP (Preparation); USES (Uses)
         (preparation of benzamidine derivs. as blood coagulation factor x
         inhibitors)
IT    337521-87-6 337522-05-1
      RL: RCT (Reactant); RACT (Reactant or reagent)
         (preparation of benzamidine derivs. as blood coagulation factor x
         inhibitors)
IT    337520-85-1P 337520-87-3P 337520-88-4P
      337520-89-5P 337520-90-8P 337520-91-9P
      337520-92-0P 337520-94-2P 337520-96-4P
      337520-98-6P 337521-00-3P 337521-02-5P
      337521-04-7P 337521-06-9P 337521-08-1P
      337521-10-5P 337521-11-6P 337521-13-8P
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      337521-56-9P
      RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
      (Reactant or reagent)
         (preparation of benzamidine derivs. as blood coagulation factor x
         inhibitors)
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      337519-20-7P 337519-21-8P 337519-22-9P
      337519-25-2P 337519-26-3P 337519-27-4P
      337519-28-5P 337519-31-0P 337519-33-2P
      337519-34-3P 337519-36-5P 337519-38-7P
      337519-40-1P 337519-41-2P 337519-43-4P
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      337529-50-7P 337529-51-8P 337529-52-9P
      337529-53-0P
      RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
      study); PREP (Preparation); USES (Uses)
         (preparation of benzamidine derivs. as blood coagulation factor x
         inhibitors)
REFERENCE COUNT:         3     THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT


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E137 THROUGH E412 ASSIGNED

=> fil reg
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DICTIONARY FILE UPDATES:  25 AUG 2004  HIGHEST RN 732955-11-2

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information enter HELP PROP at an arrow prompt in the file or refer
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http://www.cas.org/ONLINE/DBSS/registryss.html

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L7          276 S E137-E412

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210 220 230 240 250 260 270 276

L7   ANSWER 1 OF 276  REGISTRY  COPYRIGHT 2004 ACS on STN
RN   690638-51-8  REGISTRY
CN   Acetic acid, [[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-chloro-4-
     [[1-(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)-4-piperidinyl]oxy]phenyl]amino]
     sulfonyl]-, ethyl ester, dihydrochloride (9CI)  (CA INDEX NAME)
FS   STEREOSEARCH
MF   C33 H44 Cl N5 O5 S . 2 Cl H
SR   CA
LC   STN Files:   CA, CAPLUS
DT.CA  CAplus document type:  Patent
RL.P   Roles from patents:  BIOL (Biological study); PREP (Preparation); USES
        (Uses)

Relative stereochemistry.
Double bond geometry as shown.
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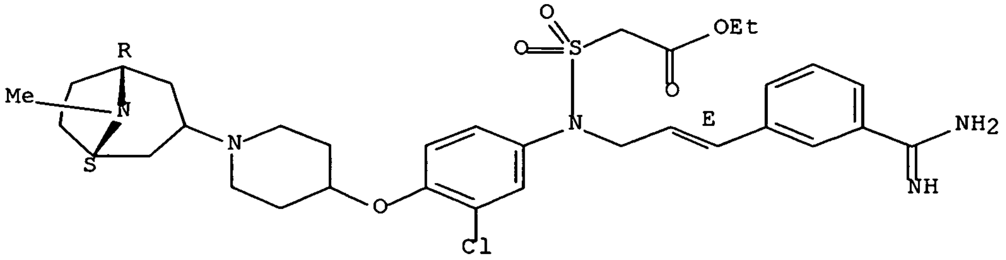

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                1 REFERENCES IN FILE CA (1907 TO DATE)
                1 REFERENCES IN FILE CAPLUS (1907 TO DATE)
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REFERENCE   1:  140:400071

L7    ANSWER 10 OF 276  REGISTRY  COPYRIGHT 2004 ACS on STN
RN    475504-98-4  REGISTRY
CN    Acetic acid, [[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3,5-
      dimethyl-4-(4-piperidinyloxy)phenyl]amino]sulfonyl]-, ethyl ester,
      dihydrochloride (9CI)  (CA INDEX NAME)
FS    STEREOSEARCH
MF    C27 H36 N4 O5 S . 2 Cl H
SR    CA
LC    STN Files:   CA, CAPLUS
DT.CA  CAplus document type:  Patent
RL.P   Roles from patents:  PREP (Preparation); RACT (Reactant or reagent)
CRN   (699534-89-9)

Double bond geometry as shown.
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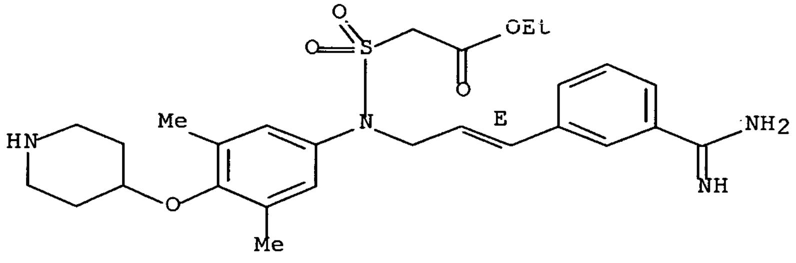

●2 HCl

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                  2 REFERENCES IN FILE CA (1907 TO DATE)
                  2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE   1:  138:66685

REFERENCE   2:  137:369982

L7    ANSWER 20 OF 276  REGISTRY  COPYRIGHT 2004 ACS on STN
RN    475504-62-2  REGISTRY
CN    Acetic acid, [[[(2E)-2-[[3-(aminoiminomethyl)phenyl]methylene]butyl][4-[[1-
      (1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester,
      dihydrochloride (9CI)  (CA INDEX NAME)
FS    STEREOSEARCH
MF    C29 H39 N5 O5 S . 2 Cl H
SR    CA
LC    STN Files:   CA, CAPLUS
DT.CA  CAplus document type:  Patent
RL.P   Roles from patents:  BIOL (Biological study); PREP (Preparation); RACT
       (Reactant or reagent); USES (Uses)

Double bond geometry as shown.
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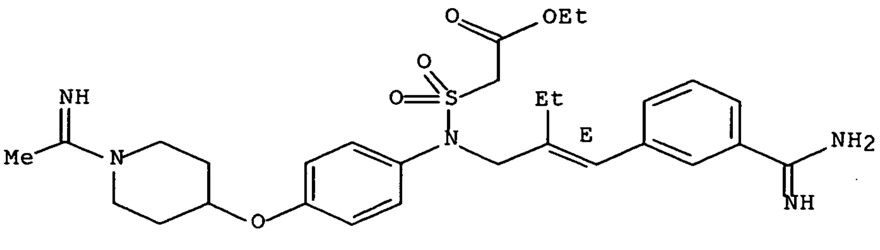

●2 HCl

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                1 REFERENCES IN FILE CA (1907 TO DATE)
                1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE   1:  137:369982

L7   ANSWER 30 OF 276  REGISTRY  COPYRIGHT 2004 ACS on STN
RN   470478-03-6  REGISTRY
CN   Acetic acid, [[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-methyl-4-
     [[1-(3,4,5,6-tetrahydro-2H-azepin-7-yl)-4-piperidinyl]oxy]phenyl]amino]sul
     fonyl]-, ethyl ester, dihydrochloride (9CI)  (CA INDEX NAME)
OTHER NAMES:
CN   N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-methyl-4-[1-(3,4,5,6-
     tetrahydro-2H-azepin-7-yl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid
     ethyl ester dihydrochloride
FS   STEREOSEARCH
MF   C32 H43 N5 O5 S . 2 Cl H
SR   CA
LC   STN Files:   CA, CAPLUS, USPATFULL
DT.CA  CAplus document type:  Patent
RL.P   Roles from patents:  BIOL (Biological study); PREP (Preparation); RACT
       (Reactant or reagent); USES (Uses)
CRN  (687633-55-2)

Double bond geometry as shown.
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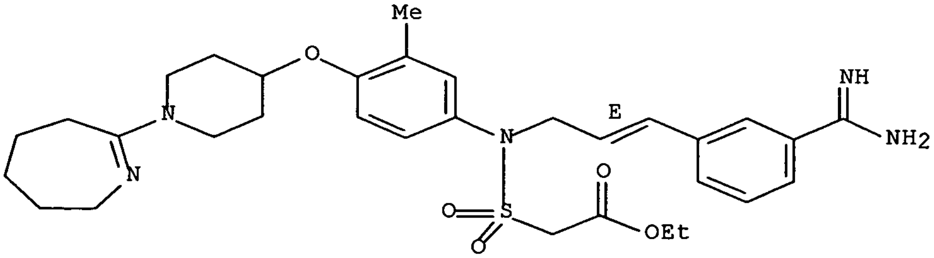

●2 HCl

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                3 REFERENCES IN FILE CA (1907 TO DATE)
                3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE   1:  140:400071

REFERENCE   2:  137:369982
REFERENCE    3:  137:310926

L7    ANSWER 40 OF 276  REGISTRY  COPYRIGHT 2004 ACS on STN
RN    470476-80-3  REGISTRY
CN    Carbamic acid, [[3-[(1E)-3-[[3-(aminocarbonyl)-4-[[1-(3,4-dihydro-2H-
      pyrrol-5-yl)-4-piperidinyl]oxy]phenyl](methylsulfonyl)amino]-1-
      propenyl]phenyl]iminomethyl]-, ethyl ester, dihydrochloride (9CI)  (CA
      INDEX NAME)
OTHER NAMES:
CN    N-[3-Carbamoyl-4-[1-(4,5-dihydro-3H-pyrrol-2-yl)piperidin-4-yloxy)]phenyl]-
      N-[3-[3-(ethoxycarbonylamino)(imino)methylphenyl]-2-(E)-
      propenyl]methanesulfonamide dihydrochloride
FS    STEREOSEARCH
MF    C30 H38 N6 O6 S . 2 Cl H
SR    CA
LC    STN Files:   CA, CAPLUS, USPATFULL
DT.CA  CAplus document type:  Patent
RL.P   Roles from patents:  BIOL (Biological study); PREP (Preparation); USES
         (Uses)

Double bond geometry as shown.
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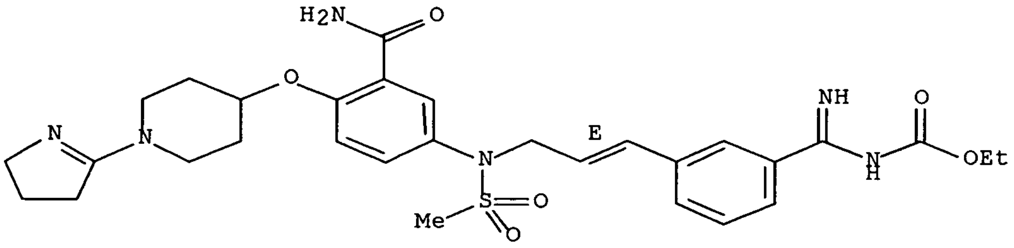

●2 HCl

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               2 REFERENCES IN FILE CA (1907 TO DATE)
               2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE    1:  140:400071

REFERENCE    2:  137:310926

L7    ANSWER 50 OF 276  REGISTRY  COPYRIGHT 2004 ACS on STN
RN    470476-70-1  REGISTRY
CN    Acetic acid, [[[(2Z)-3-[3-(aminoiminomethyl)phenyl]-2-fluoro-2-propenyl][4-
      [[1-(3,4-dihydro-2H-pyrrol-5-yl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-
      , dihydrochloride (9CI)  (CA INDEX NAME)
OTHER NAMES:
CN    N-[3-(3-Amidinophenyl)-2-fluoro-2-(Z)-propenyl]-N-[4-[1-(4,5-dihydro-3H-
      pyrrol-2-yl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid dihydrochloride
FS    STEREOSEARCH
MF    C27 H32 F N5 O5 S . 2 Cl H
SR    CA
LC    STN Files:   CA, CAPLUS, USPATFULL
DT.CA  CAplus document type:  Patent
RL.P   Roles from patents:  BIOL (Biological study); PREP (Preparation); USES
         (Uses)
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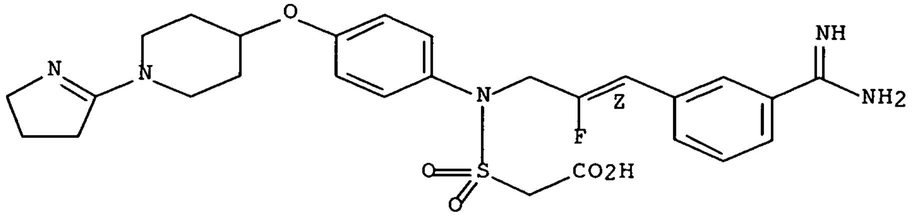
Double bond geometry as shown.



●2 HCl

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                3 REFERENCES IN FILE CA (1907 TO DATE)
                3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE   1:  140:400071

REFERENCE   2:  137:369982

REFERENCE   3:  137:310926

L7    ANSWER 60 OF 276  REGISTRY  COPYRIGHT 2004 ACS on STN
RN    470476-60-9  REGISTRY
CN    Acetic acid, [[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-chloro-4-
      [[1-(2,3,5,6-tetrafluoro-4-pyridinyl)-4-piperidinyl]oxy]phenyl]amino]sulfo
      nyl]-, ethyl ester, dihydrochloride (9CI)  (CA INDEX NAME)
OTHER NAMES:
CN    N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-[1-(2,3,5,6-
      tetrafluoropyridin-4-yl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid
      ethyl ester dihydrochloride
FS    STEREOSEARCH
MF    C30 H30 Cl F4 N5 O5 S . 2 Cl H
SR    CA
LC    STN Files:   CA, CAPLUS, USPATFULL
DT.CA  CAplus document type:  Patent
RL.P   Roles from patents:  BIOL (Biological study); PREP (Preparation); RACT
        (Reactant or reagent); USES (Uses)
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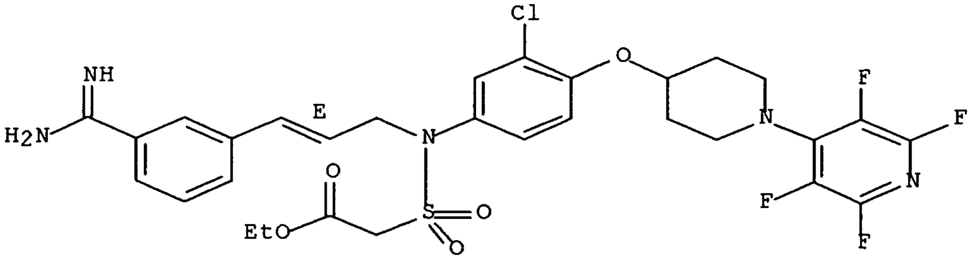
Double bond geometry as shown.



●2 HCl

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              3 REFERENCES IN FILE CA (1907 TO DATE)
              3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE   1:  140:400071

REFERENCE   2:  137:369982

REFERENCE   3:  137:310926

L7   ANSWER 70 OF 276  REGISTRY  COPYRIGHT 2004 ACS on STN
RN   470476-50-7  REGISTRY
CN   Acetic acid, [[[3-(aminocarbonyl)-4-[[1-(3,4,5,6-tetrahydro-2-pyridinyl)-4-
     piperidinyl]oxy]phenyl][(2E)-3-[3-(aminoiminomethyl)phenyl]-2-
     propenyl]amino]sulfonyl]-, dihydrochloride (9CI)  (CA INDEX NAME)
OTHER NAMES:
CN   N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-carbamoyl-4-[1-(2,3,4,5-
     tetrahydropyridin-6-yl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid
     dihydrochloride
FS   STEREOSEARCH
MF   C29 H36 N6 O6 S . 2 Cl H
SR   CA
LC   STN Files:   CA, CAPLUS, USPATFULL
DT.CA  CAplus document type:  Patent
RL.P   Roles from patents:  BIOL (Biological study); PREP (Preparation); USES
        (Uses)
CRN  (732240-81-2)

Double bond geometry as shown.
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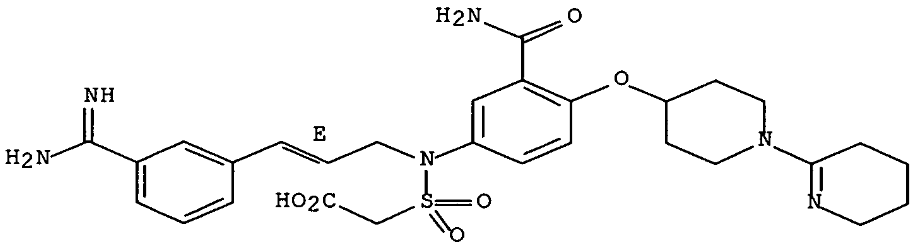

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                ●2  HCl

              3 REFERENCES IN FILE CA (1907 TO DATE)
              3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE   1:  140:400071

REFERENCE   2:  137:369982

REFERENCE   3:  137:310926

L7   ANSWER 80 OF 276  REGISTRY  COPYRIGHT 2004 ACS on STN
RN   470476-40-5  REGISTRY
CN   Acetic acid, [[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][4-[[1-
     (3,4,5,6-tetrahydro-2-pyridinyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-
     , dihydrochloride (9CI)  (CA INDEX NAME)
```

```
OTHER NAMES:
CN   N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[4-[1-(2,3,4,5-tetrahydropyridin-
     6-yl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid dihydrochloride
FS   STEREOSEARCH
MF   C28 H35 N5 O5 S . 2 Cl H
SR   CA
LC   STN Files:   CA, CAPLUS, USPATFULL
DT.CA  CAplus document type:  Patent
RL.P   Roles from patents:  BIOL (Biological study); PREP (Preparation); USES
       (Uses)

Double bond geometry as shown.
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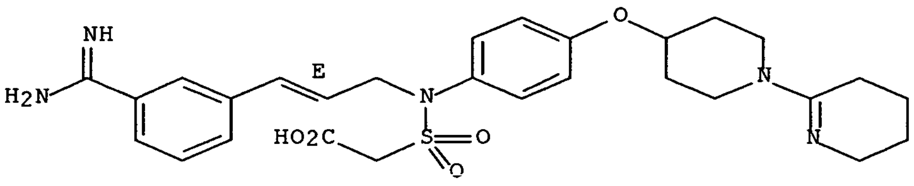

●2 HCl

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               3 REFERENCES IN FILE CA (1907 TO DATE)
               3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE   1:  140:400071

REFERENCE   2:  137:369982

REFERENCE   3:  137:310926

L7   ANSWER 90 OF 276  REGISTRY  COPYRIGHT 2004 ACS on STN
RN   470476-30-3  REGISTRY
CN   Acetic acid, [[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-chloro-4-
     [[1-(iminophenylmethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-,
     dihydrochloride (9CI)  (CA INDEX NAME)
OTHER NAMES:
CN   N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[4-[1-iminophenylmethylpiperidin-
     4-yloxy]-3-chlorophenyl]sulfamoylacetic acid dihydrochloride
FS   STEREOSEARCH
MF   C30 H32 Cl N5 O5 S . 2 Cl H
SR   CA
LC   STN Files:   CA, CAPLUS, USPATFULL
DT.CA  CAplus document type:  Patent
RL.P   Roles from patents:  BIOL (Biological study); PREP (Preparation); USES
       (Uses)

Double bond geometry as shown.
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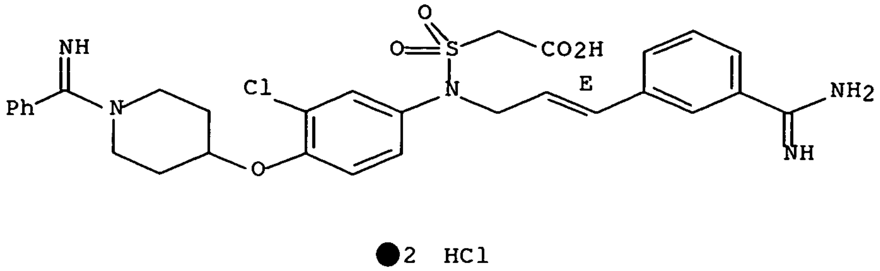

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                  3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE   1:  140:400071

REFERENCE   2:  137:369982

REFERENCE   3:  137:310926

L7   ANSWER 100 OF 276  REGISTRY  COPYRIGHT 2004 ACS on STN
RN   470476-20-1  REGISTRY
CN   Acetic acid, [[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-chloro-4-
     (7-indolizinyloxy)phenyl]amino]sulfonyl]-, dihydrochloride (9CI)  (CA
     INDEX NAME)
OTHER NAMES:
CN   N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-(indolizin-7-
     yloxy)phenyl]sulfamoylacetic acid dihydrochloride
FS   STEREOSEARCH
MF   C26 H23 Cl N4 O5 S . 2 Cl H
SR   CA
LC   STN Files:   CA, CAPLUS, USPATFULL
DT.CA  CAplus document type:  Patent
RL.P   Roles from patents:  BIOL (Biological study); PREP (Preparation); USES
       (Uses)

Double bond geometry as shown.
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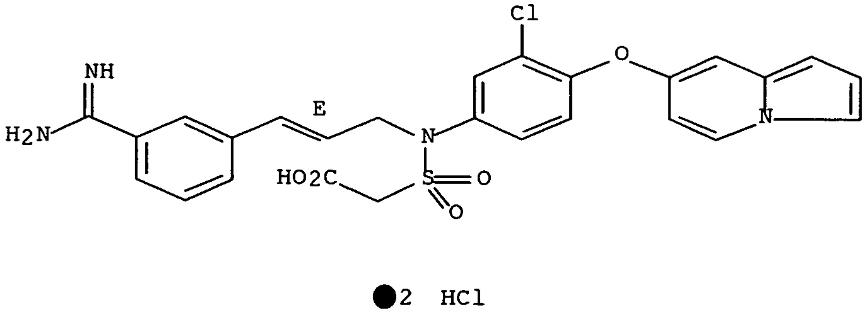

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                  3 REFERENCES IN FILE CA (1907 TO DATE)
                  3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE   1:  140:400071

REFERENCE   2:  137:369982
REFERENCE   3:  137:310926

L7   ANSWER 110 OF 276  REGISTRY  COPYRIGHT 2004 ACS on STN
RN   470476-10-9  REGISTRY
CN   Acetic acid, [[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-chloro-4-
     [[1-(3-pyridinylmethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-,
     trihydrochloride (9CI)  (CA INDEX NAME)
OTHER NAMES:
CN   N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-[1-(3-
     pyridylmethyl)piperidin-4-yloxy]phenyl]sulfamoylacetic acid
     trihydrochloride
FS   STEREOSEARCH
MF   C29 H32 Cl N5 O5 S . 3 Cl H
SR   CA
LC   STN Files:   CA, CAPLUS, USPATFULL
DT.CA  CAplus document type:  Patent
RL.P   Roles from patents:  BIOL (Biological study); PREP (Preparation); USES
       (Uses)

Double bond geometry as shown.
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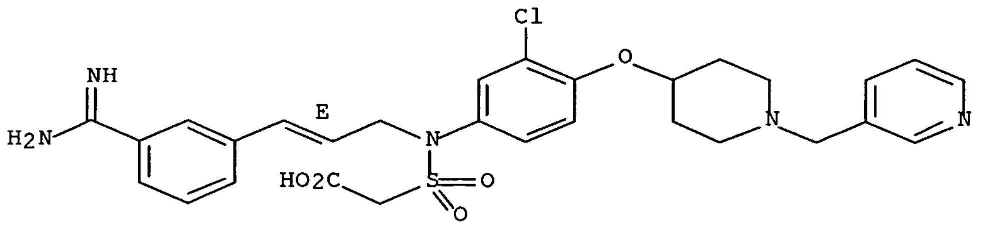

●3 HCl

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              3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE   1:  140:400071

REFERENCE   2:  137:369982

REFERENCE   3:  137:310926

L7   ANSWER 120 OF 276  REGISTRY  COPYRIGHT 2004 ACS on STN
RN   470476-00-7  REGISTRY
CN   Acetic acid, [[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-chloro-4-
     [[1-(methylsulfonyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-,
     monohydrochloride (9CI)  (CA INDEX NAME)
OTHER NAMES:
CN   N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-(1-
     methanesulfonylpiperidin-4-yloxy)phenyl]sulfamoylacetic acid hydrochloride
FS   STEREOSEARCH
MF   C24 H29 Cl N4 O7 S2 . Cl H
SR   CA
LC   STN Files:   CA, CAPLUS, USPATFULL
DT.CA  CAplus document type:  Patent
RL.P   Roles from patents:  BIOL (Biological study); PREP (Preparation); USES
       (Uses)
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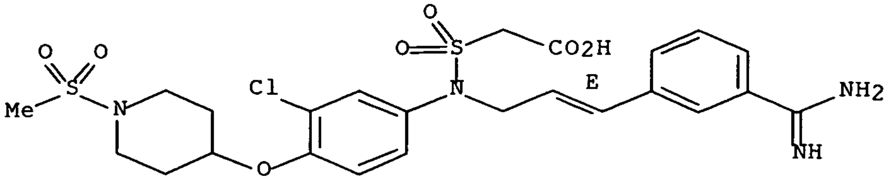
Double bond geometry as shown.



● HCl

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

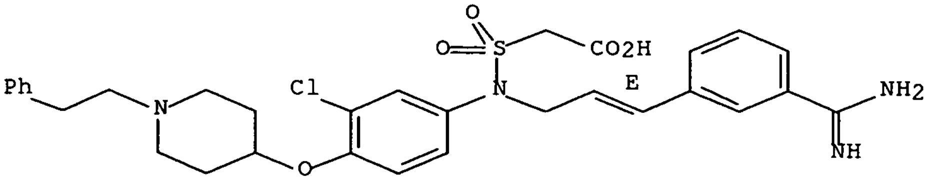
REFERENCE 1: 140:400071

REFERENCE 2: 137:369982

REFERENCE 3: 137:310926

L7 ANSWER 130 OF 276 REGISTRY COPYRIGHT 2004 ACS on STN
RN **470475-90-2** REGISTRY
CN Acetic acid, [[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-chloro-4-[[1-(2-phenylethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, dihydrochloride (9CI) (CA INDEX NAME)
OTHER NAMES:
CN N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-chloro-4-(1-phenethylpiperidin-4-yloxy)phenyl]sulfamoylacetic acid dihydrochloride
FS STEREOSEARCH
MF C31 H35 Cl N4 O5 S . 2 Cl H
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Double bond geometry as shown.



●2 HCl

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:400071

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REFERENCE   2:  137:369982

REFERENCE   3:  137:310926

L7   ANSWER 140 OF 276  REGISTRY  COPYRIGHT 2004 ACS on STN
RN   470475-80-0  REGISTRY
CN   Acetic acid, [[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-chloro-4-
     [(1-methyl-4-piperidinyl)oxy]phenyl]amino]sulfonyl]-, dihydrochloride
     (9CI)  (CA INDEX NAME)
OTHER NAMES:
CN   N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-choro-4-(1-methylpiperidin-4-
     yloxy)phenyl]sulfamoylacetic acid dihydrochloride
FS   STEREOSEARCH
MF   C24 H29 Cl N4 O5 S . 2 Cl H
SR   CA
LC   STN Files:   CA, CAPLUS, USPATFULL
DT.CA  CAplus document type:  Patent
RL.P   Roles from patents:  BIOL (Biological study); PREP (Preparation); USES
       (Uses)

Double bond geometry as shown.
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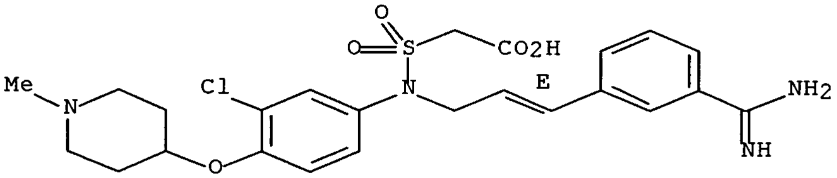

●2 HCl

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               3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE   1:  140:400071

REFERENCE   2:  137:369982

REFERENCE   3:  137:310926

L7   ANSWER 150 OF 276  REGISTRY  COPYRIGHT 2004 ACS on STN
RN   337529-49-4  REGISTRY
CN   Acetic acid, [[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][4-[[1-(1-
     iminoethyl)-4-piperidinyl]oxy]-3-(trifluoromethyl)phenyl]amino]sulfonyl]-
     (9CI)  (CA INDEX NAME)
FS   STEREOSEARCH
MF   C26 H30 F3 N5 O5 S
CI   COM
SR   CA
LC   STN Files:   CA, CAPLUS, USPATFULL
DT.CA  CAplus document type:  Patent
RL.P   Roles from patents:  BIOL (Biological study); PREP (Preparation); RACT
       (Reactant or reagent); USES (Uses)

Double bond geometry as shown.
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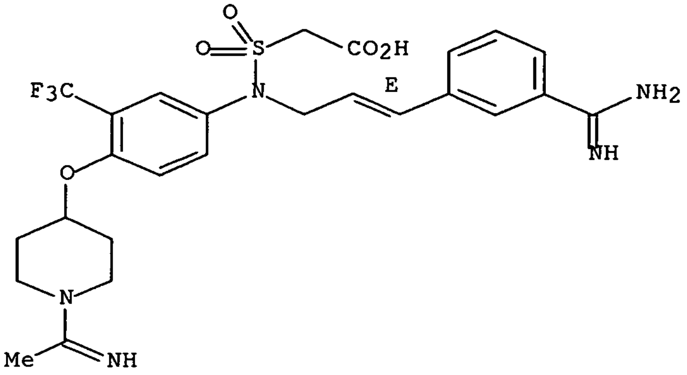

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                3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE   1:  138:66685

REFERENCE   2:  137:369982

REFERENCE   3:  134:340355

L7    ANSWER 160 OF 276  REGISTRY  COPYRIGHT 2004 ACS on STN
RN    337521-87-6  REGISTRY
CN    Benzoic acid, 5-[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][(2-
      ethoxy-2-oxoethyl)sulfonyl]amino]-2-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-
      , dihydrochloride (9CI)  (CA INDEX NAME)
FS    STEREOSEARCH
MF    C28 H35 N5 O7 S . 2 Cl H
SR    CA
LC    STN Files:   CA, CAPLUS, USPATFULL
DT.CA  CAplus document type:  Patent
RL.P   Roles from patents:  BIOL (Biological study); PREP (Preparation); RACT
       (Reactant or reagent); USES (Uses)

Double bond geometry as shown.
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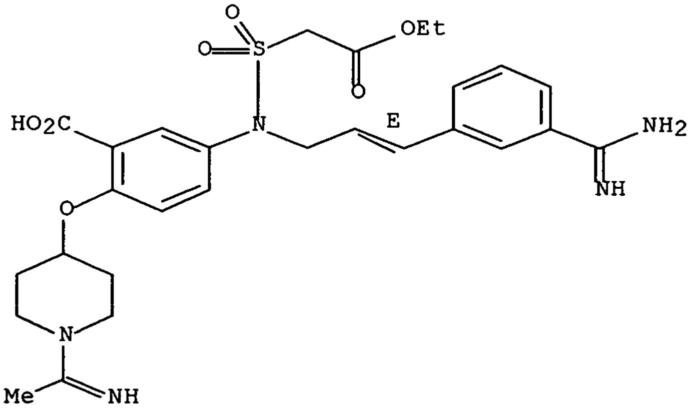
PAGE 1-A



PAGE 2-A

●2 HCl

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2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:66685

REFERENCE 2: 134:340355

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L7    ANSWER 170 OF 276  REGISTRY  COPYRIGHT 2004 ACS on STN
RN    337521-38-7  REGISTRY
CN    Acetic acid, [[[(2E)-3-[5-(aminoiminomethyl)-2-hydroxyphenyl]-2-
      propenyl][3-chloro-4-(4-piperidinyloxy)phenyl]amino]sulfonyl]-, ethyl
      ester, dihydrochloride (9CI)  (CA INDEX NAME)
FS    STEREOSEARCH
MF    C25 H31 Cl N4 O6 S . 2 Cl H
SR    CA
LC    STN Files:   CA, CAPLUS, USPATFULL
DT.CA  CAplus document type:  Patent
RL.P   Roles from patents:  PREP (Preparation); RACT (Reactant or reagent)
CRN   (475504-97-3)
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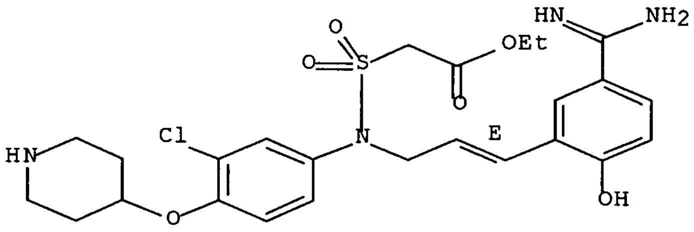
Double bond geometry as shown.



●2 HCl

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:340355

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L7    ANSWER 180 OF 276  REGISTRY  COPYRIGHT 2004 ACS on STN
RN    337521-19-4  REGISTRY
CN    Acetic acid, [[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][4-(3-
      pyrrolidinyloxy)phenyl]amino]sulfonyl]-, ethyl ester, dihydrochloride
      (9CI)  (CA INDEX NAME)
FS    STEREOSEARCH
MF    C24 H30 N4 O5 S . 2 Cl H
SR    CA
LC    STN Files:   CA, CAPLUS, USPATFULL
DT.CA  CAplus document type:  Patent
RL.P   Roles from patents:  PREP (Preparation); RACT (Reactant or reagent)
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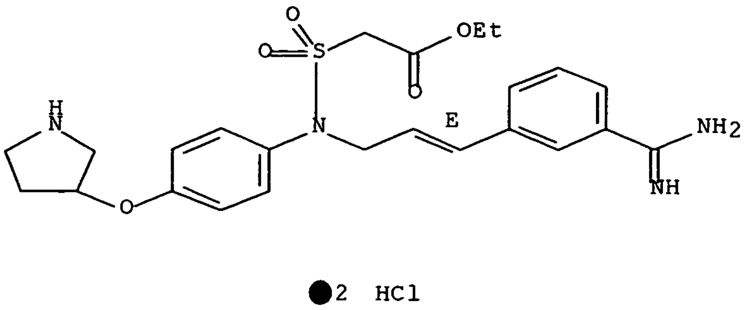
Double bond geometry as shown.



2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 137:369982

REFERENCE 2: 134:340355

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L7     ANSWER 190 OF 276  REGISTRY  COPYRIGHT 2004 ACS on STN
RN     337521-00-3  REGISTRY
CN     Acetic acid, [[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-methyl-4-
       (4-piperidinyloxy)phenyl]amino]sulfonyl]-, ethyl ester, dihydrochloride
       (9CI)  (CA INDEX NAME)
OTHER NAMES:
CN     N-[3-(3-Amidinophenyl)-2-(E)-propenyl]-N-[3-methyl-4-(piperidin-4-
       yloxy)phenyl]sulfamoylacetic acid ethyl ester dihydrochloride
FS     STEREOSEARCH
MF     C26 H34 N4 O5 S . 2 Cl H
SR     CA
LC     STN Files:   CA, CAPLUS, USPATFULL
DT.CA  CAplus document type:  Patent
RL.P   Roles from patents:  PREP (Preparation); RACT (Reactant or reagent)
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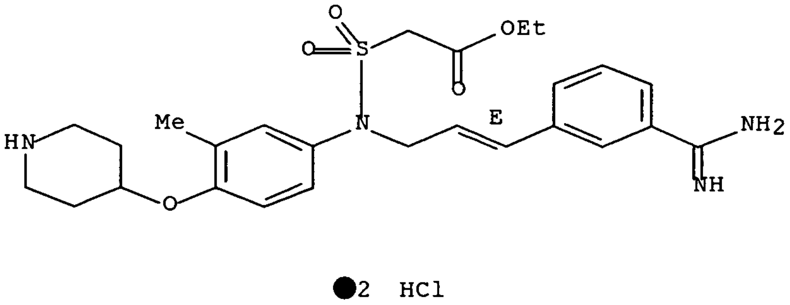
Double bond geometry as shown.



5 REFERENCES IN FILE CA (1907 TO DATE)
5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:400071

REFERENCE 2: 138:66685

REFERENCE 3: 137:369982

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REFERENCE   4:  137:310926

REFERENCE   5:  134:340355

L7    ANSWER 200 OF 276  REGISTRY  COPYRIGHT 2004 ACS on STN
RN    337520-85-1  REGISTRY
CN    Benzenecarboximidamide, 3-[(1E)-3-[(ethylsulfonyl)[4-(4-
      piperidinyloxy)phenyl]amino]-1-propenyl]-, dihydrochloride (9CI)  (CA
      INDEX NAME)
FS    STEREOSEARCH
MF    C23 H30 N4 O3 S . 2 Cl H
SR    CA
LC    STN Files:   CA, CAPLUS, USPATFULL
DT.CA  CAplus document type:  Patent
RL.P   Roles from patents:  PREP (Preparation); RACT (Reactant or reagent)

Double bond geometry as shown.
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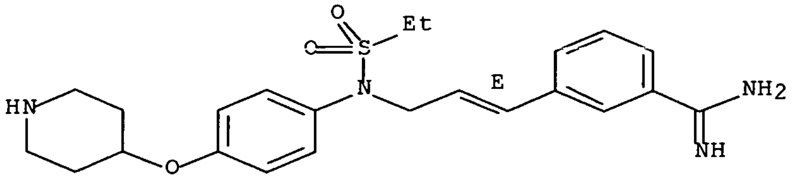

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               3 REFERENCES IN FILE CA (1907 TO DATE)
               3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE   1:  138:66685

REFERENCE   2:  137:369982

REFERENCE   3:  134:340355

L7    ANSWER 210 OF 276  REGISTRY  COPYRIGHT 2004 ACS on STN
RN    337519-53-6  REGISTRY
CN    Butanoic acid, 4-[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][4-[[1-
      (1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]-, ethyl ester,
      trihydrochloride (9CI)  (CA INDEX NAME)
FS    STEREOSEARCH
MF    C29 H39 N5 O3 . 3 Cl H
SR    CA
LC    STN Files:   CA, CAPLUS, USPATFULL
DT.CA  CAplus document type:  Patent
RL.P   Roles from patents:  BIOL (Biological study); PREP (Preparation); RACT
       (Reactant or reagent); USES (Uses)

Double bond geometry as shown.
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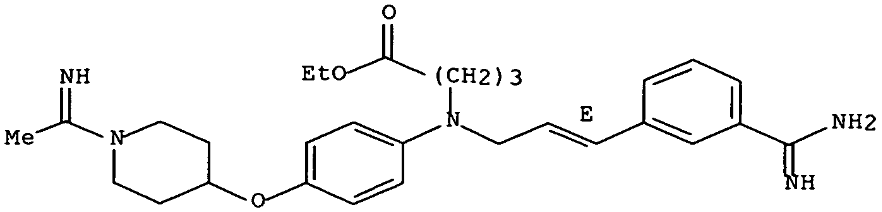

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3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:66685

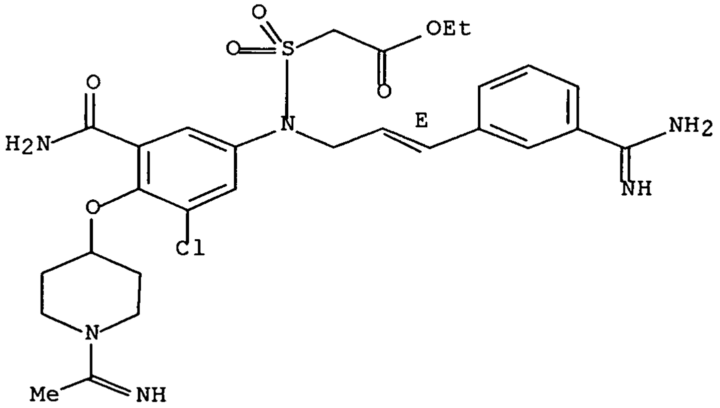
REFERENCE 2: 137:369982

REFERENCE 3: 134:340355

L7 ANSWER 220 OF 276 REGISTRY COPYRIGHT 2004 ACS on STN
RN **337519-43-4** REGISTRY
CN Acetic acid, [[[3-(aminocarbonyl)-5-chloro-4-[[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl][(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl]amino]sulfonyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C28 H35 Cl N6 O6 S . 2 Cl H
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

Double bond geometry as shown.

PAGE 1-A



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                3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE   1:  138:66685

REFERENCE   2:  137:369982

REFERENCE   3:  134:340355

L7   ANSWER 230 OF 276  REGISTRY  COPYRIGHT 2004 ACS on STN
RN   337519-33-2  REGISTRY
CN   Acetic acid, [[[(2E)-3-[3-(aminoiminomethyl)phenyl]-2-propenyl][3-bromo-4-
     [[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-,
     dihydrochloride (9CI)  (CA INDEX NAME)
FS   STEREOSEARCH
MF   C25 H30 Br N5 O5 S . 2 Cl H
SR   CA
LC   STN Files:   CA, CAPLUS, USPATFULL
DT.CA  CAplus document type:  Patent
RL.P   Roles from patents:  BIOL (Biological study); PREP (Preparation); USES
         (Uses)

Double bond geometry as shown.
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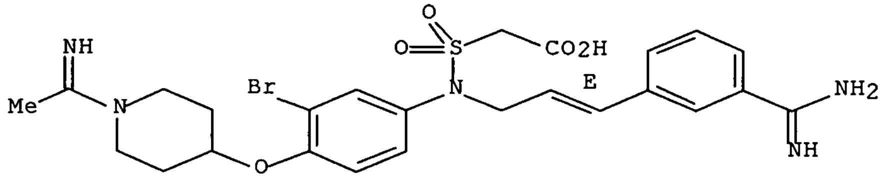

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                3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE   1:  138:66685

REFERENCE   2:  137:369982

REFERENCE   3:  134:340355

L7   ANSWER 240 OF 276  REGISTRY  COPYRIGHT 2004 ACS on STN
RN   337519-23-0  REGISTRY
CN   Benzenecarboximidamide, 3-[(1E)-3-[[4-[[1-(1-iminoethyl)-4-
     piperidinyl]oxy]phenyl](1-methylethyl)amino]-1-propenyl]-,
     trihydrochloride (9CI)  (CA INDEX NAME)
FS   STEREOSEARCH
MF   C26 H35 N5 O . 3 Cl H
SR   CA
LC   STN Files:   CA, CAPLUS, USPATFULL
DT.CA  CAplus document type:  Patent
RL.P   Roles from patents:  BIOL (Biological study); PREP (Preparation); USES
         (Uses)

Double bond geometry as shown.
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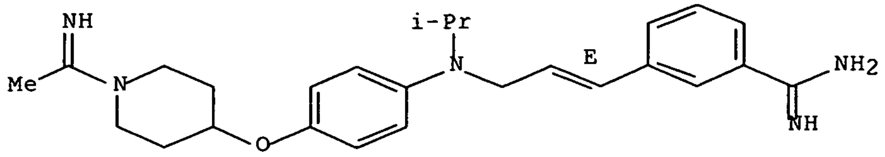

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                3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE   1:  138:66685

REFERENCE   2:  137:369982

REFERENCE   3:  134:340355

L7   ANSWER 250 OF 276  REGISTRY  COPYRIGHT 2004 ACS on STN
RN   337519-13-8  REGISTRY
CN   Acetic acid, [[[(2E)-3-[5-(aminoiminomethyl)-2-methylphenyl]-2-propenyl][4-
     [[1-(1-iminoethyl)-4-piperidinyl]oxy]phenyl]amino]sulfonyl]-, ethyl ester,
     dihydrochloride (9CI)  (CA INDEX NAME)
FS   STEREOSEARCH
MF   C28 H37 N5 O5 S . 2 Cl H
SR   CA
LC   STN Files:   CA, CAPLUS, USPATFULL
DT.CA  CAplus document type:  Patent
RL.P   Roles from patents:  BIOL (Biological study); PREP (Preparation); USES
        (Uses)
CRN  (699534-30-0)

Double bond geometry as shown.
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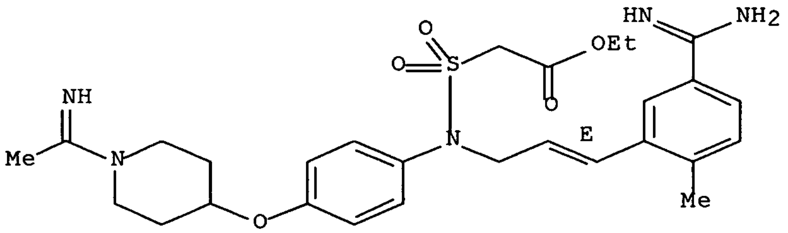

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                3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE   1:  138:66685

REFERENCE   2:  137:369982

REFERENCE   3:  134:340355

L7   ANSWER 260 OF 276  REGISTRY  COPYRIGHT 2004 ACS on STN
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RN     337519-03-6  REGISTRY
CN     Benzenecarboximidamide, 3-[(1E)-3-[(ethylsulfonyl)[4-[[1-(1-iminoethyl)-4-
       piperidinyl]oxy]phenyl]amino]-2-methyl-1-propenyl]-, dihydrochloride (9CI)
       (CA INDEX NAME)
FS     STEREOSEARCH
MF     C26 H35 N5 O3 S . 2 Cl H
SR     CA
LC     STN Files:   CA, CAPLUS, USPATFULL
DT.CA  CAplus document type:  Patent
RL.P   Roles from patents:  BIOL (Biological study); PREP (Preparation); USES
         (Uses)

Double bond geometry as shown.
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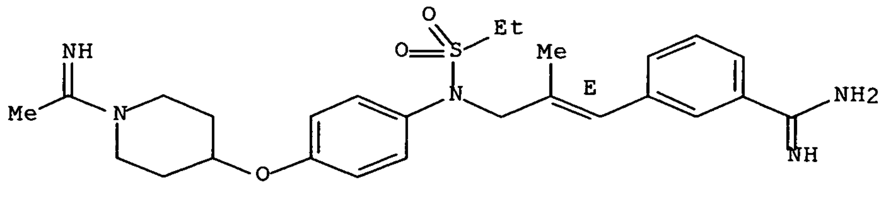

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                 3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE   1:  138:66685

REFERENCE   2:  137:369982

REFERENCE   3:  134:340355

L7    ANSWER 270 OF 276  REGISTRY  COPYRIGHT 2004 ACS on STN
RN    325456-87-9  REGISTRY
CN    Benzenecarboximidamide, 4-hydroxy-3-[3-[[4-[(1-methyl-4-piperidinyl)oxy]-3-
      (trifluoromethyl)phenyl]amino]-1-propenyl]- (9CI)  (CA INDEX NAME)
FS    3D CONCORD
MF    C23 H27 F3 N4 O2
SR    CA
LC    STN Files:   CA, CAPLUS, USPATFULL
DT.CA  CAplus document type:  Journal; Patent
RL.P   Roles from patents:  BIOL (Biological study); PREP (Preparation); USES
         (Uses)
RL.NP  Roles from non-patents:  BIOL (Biological study); PREP (Preparation)
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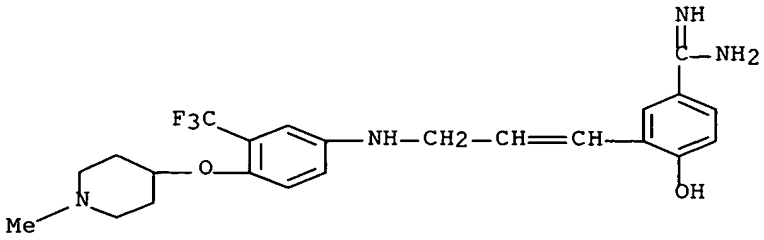

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REFERENCE   1:  137:279065

REFERENCE   2:  134:162918

L7   ANSWER 276 OF 276  REGISTRY  COPYRIGHT 2004 ACS on STN
RN   325456-58-4  REGISTRY
CN   Benzenecarboximidamide, 3-[3-[[4-[[1-(1-iminoethyl)-4-
     piperidinyl]oxy]phenyl]amino]-1-propenyl]- (9CI)  (CA INDEX NAME)
FS   3D CONCORD
MF   C23 H29 N5 O
SR   CA
LC   STN Files:   CA, CAPLUS, USPATFULL
DT.CA  CAplus document type:  Journal; Patent
RL.P   Roles from patents:  BIOL (Biological study); PREP (Preparation); USES
       (Uses)
RL.NP  Roles from non-patents:  BIOL (Biological study); PREP (Preparation)
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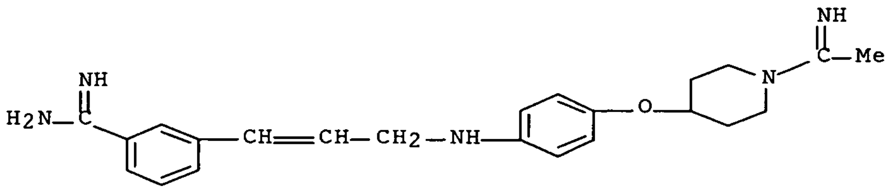

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REFERENCE   1:  137:279065

REFERENCE   2:  134:162918

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